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NEWS 1		Web Page for STN Seminar Schedule - N. America
NEWS 2	AUG 10	Time limit for inactive STN sessions doubles to 40 minutes
NEWS 3	AUG 18	COMPENDEX indexing changed for the Corporate Source (CS) field
NEWS 4	AUG 24	ENCOMPLIT/ENCOMPLIT2 reloaded and enhanced
NEWS 5	AUG 24	CA/CAplus enhanced with legal status information for U.S. patents
NEWS 6	SEP 09	50 Millionth Unique Chemical Substance Recorded in CAS REGISTRY
NEWS 7	SEP 11	WPIDS, WPINDEX, and WPIX now include Japanese FTERM thesaurus
NEWS 8	OCT 21	Derwent World Patents Index Coverage of Indian and Taiwanese Content Expanded
NEWS 9	OCT 21	Derwent World Patents Index enhanced with human translated claims for Chinese Applications and Utility Models
NEWS 10	NOV 23	Addition of SCAN format to selected STN databases
NEWS 11	NOV 23	Annual Reload of IFI Databases
NEWS 12	DEC 01	FRFULL Content and Search Enhancements
NEWS 13	DEC 01	DGENE, USGENE, and PCTGEN: new percent identity feature for sorting BLAST answer sets
NEWS 14	DEC 02	Derwent World Patent Index: Japanese FI-TERM thesaurus added
NEWS 15	DEC 02	PCTGEN enhanced with patent family and legal status display data from INPADOCDB
NEWS 16	DEC 02	USGENE: Enhanced coverage of bibliographic and sequence information
NEWS 17	DEC 21	New Indicator Identifies Multiple Basic Patent Records Containing Equivalent Chemical Indexing in CA/CAplus
NEWS 18	JAN 12	Match STN Content and Features to Your Information Needs, Quickly and Conveniently

NEWS EXPRESS MAY 26 09 CURRENT WINDOWS VERSION IS V8.4,
AND CURRENT DISCOVER FILE IS DATED 06 APRIL 2009.

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FILE 'HOME' ENTERED AT 09:25:34 ON 20 JAN 2010

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.44	0.44

FILE 'REGISTRY' ENTERED AT 09:26:26 ON 20 JAN 2010
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 18 JAN 2010 HIGHEST RN 1202470-25-4
DICTIONARY FILE UPDATES: 18 JAN 2010 HIGHEST RN 1202470-25-4

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TSCA INFORMATION NOW CURRENT THROUGH June 26, 2009.

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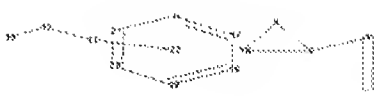
http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\STNEXP\Queries\10595892.str



chain nodes :
1 3 4 7 11 12 13
ring nodes :
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chain bonds :
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ring bonds :
8-9 8-10 9-10 16-17 16-21 17-18 18-19 19-20 20-21
exact/norm bonds :
1-4 1-3 4-7 8-9 8-10 9-10 11-12 12-13
exact bonds :
1-9 10-17
normalized bonds :
16-17 16-21 17-18 18-19 19-20 20-21

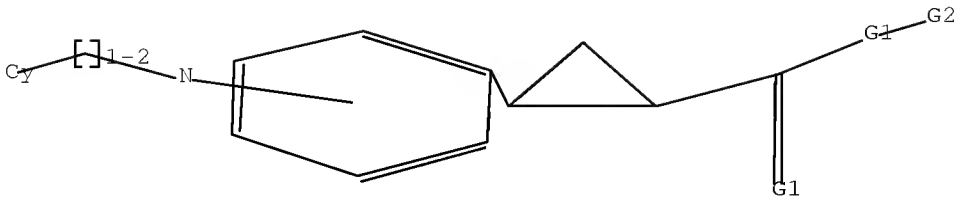
G1:O,S

G2:H,Ak

Match level :
1:CLASS 3:CLASS 4:CLASS 7:CLASS 8:Atom 9:Atom 10:Atom 11:CLASS 12:CLASS
13:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom 22:Atom

L1 STRUCTURE UPLOADED

=> d L1
L1 HAS NO ANSWERS
L1 STR



G1 O,S
G2 H,Ak

Structure attributes must be viewed using STN Express query preparation.

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=> s L1 SSS SAM
SAMPLE SEARCH INITIATED 09:27:20 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -    16134 TO ITERATE

 12.4% PROCESSED     2000 ITERATIONS                                0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:       315070 TO   330290
PROJECTED ANSWERS:               0 TO        0

L2            0 SEA SSS SAM L1

=> s L1 SSS full
THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 191.05 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
FULL SEARCH INITIATED 09:27:52 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   319039 TO ITERATE

100.0% PROCESSED   319039 ITERATIONS                           153 ANSWERS
SEARCH TIME: 00.00.09

L3          153 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                   ENTRY    SESSION
FULL ESTIMATED COST                               192.52     192.96

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FILE COVERS 1907 - 20 Jan 2010 VOL 152 ISS 4
FILE LAST UPDATED: 19 Jan 2010 (20100119/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Oct 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Oct 2009

CAplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2009.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s L3 SSS full
L4 17 L3

=> d ibib abs histr 1-
'HISTR' IS NOT A VALID FORMAT FOR FILE 'CAPLUS'

The following are valid formats:

ABS --------- GI and AB
ALL --------- BIB, AB, IND, RE
APPS -------- AI, PRAI
BIB --------- AN, plus Bibliographic Data and PI table (default)
CAN --------- List of CA abstract numbers without answer numbers
CBIB -------- AN, plus Compressed Bibliographic Data
CLASS ------- IPC, NCL, ECLA, FTERM
DALL -------- ALL, delimited (end of each field identified)
DMAX -------- MAX, delimited for post-processing
FAM --------- AN, PI and PRAI in table, plus Patent Family data
FBIB -------- AN, BIB, plus Patent FAM
IND --------- Indexing data
IPC --------- International Patent Classifications
MAX --------- ALL, plus Patent FAM, RE
PATS -------- PI, SO
SAM --------- CC, SX, TI, ST, IT
SCAN -------- CC, SX, TI, ST, IT (random display, no answer numbers;
SCAN must be entered on the same line as the DISPLAY,
e.g., D SCAN or DISPLAY SCAN)
STD --------- BIB, CLASS

IABS -------- ABS, indented with text labels
IALL -------- ALL, indented with text labels
IBIB -------- BIB, indented with text labels
IMAX -------- MAX, indented with text labels
ISTD -------- STD, indented with text labels

OBIB -------- AN, plus Bibliographic Data (original)
OIBIB ------- OBIB, indented with text labels

SBIB -------- BIB, no citations
SIBIB ------- IBIB, no citations

```
HIT --------- Fields containing hit terms
HITIND ------ IC, ICA, ICI, NCL, CC and index field (ST and IT)
              containing hit terms
HITRN ------- HIT RN and its text modification
HITSTR ------ HIT RN, its text modification, its CA index name, and
              its structure diagram
HITSEQ ------ HIT RN, its text modification, its CA index name, its
              structure diagram, plus NTE and SEQ fields
FHITSTR ----- First HIT RN, its text modification, its CA index name, and
              its structure diagram
FHITSEQ ----- First HIT RN, its text modification, its CA index name, its
              structure diagram, plus NTE and SEQ fields
KWIC -------- Hit term plus 20 words on either side
OCC --------- Number of occurrence of hit term and field in which it occurs

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codes.  For a list of the display field codes, enter HELP DFIELDS at
an arrow prompt (=>).  Examples of formats include: TI; TI,AU; BIB,ST;
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to view a specified Accession Number.
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=> d his

     (FILE 'HOME' ENTERED AT 09:25:34 ON 20 JAN 2010)

     FILE 'REGISTRY' ENTERED AT 09:26:26 ON 20 JAN 2010
L1             STRUCTURE UPLOADED
L2               0 S L1 SSS SAM
L3             153 S L1 SSS FULL

     FILE 'CAPLUS' ENTERED AT 09:28:09 ON 20 JAN 2010
L4              17 S L3 SSS FULL

=> d ibib abs hitstr 1-
YOU HAVE REQUESTED DATA FROM 17 ANSWERS - CONTINUE? Y/(N):y

L4   ANSWER 1 OF 17  CAPLUS  COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER:         2008:1389298  CAPLUS  Full-text
DOCUMENT NUMBER:          150:121210
TITLE:                    One-pot approach for the synthesis of
                          trans-cyclopropyl compounds from aldehydes.
                          Application to the synthesis of GPR40 receptor
                          agonists
AUTHOR(S):                Davi, Michael; Lebel, Helene
CORPORATE SOURCE:         Departement de Chimie, Universite de Montreal,
                          Montreal, QC, H3T 1J4, Can.
SOURCE:                   Chemical Communications (Cambridge, United Kingdom)
                          (2008), (40), 4974-4976
                          CODEN: CHCOFS; ISSN: 1359-7345
PUBLISHER:                Royal Society of Chemistry
DOCUMENT TYPE:            Journal
LANGUAGE:                 English
OTHER SOURCE(S):          CASREACT 150:121210
```

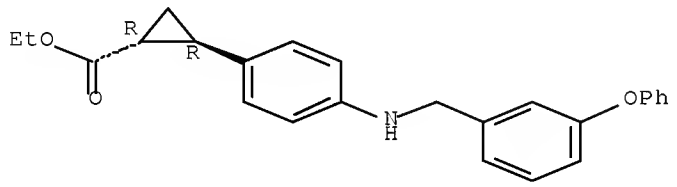
AB Trans-2-arylcyclopropane-1-carboxylates were prepared in a novel multicatalytic one-pot process from aldehydes and diazomethane derivs. This process was applied to the synthesis of 3-phenoxybenzylaminophenylcyclopropanecarboxylates as GPR40 small mol. agonists.

IT 1097207-88-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of trans-2-arylcyclopropane-1-carboxylates, including GPR40 agonists, from aldehydes)

RN 1097207-88-9 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[4-[[(3-phenoxyphenyl)methyl]amino]phenyl]-, ethyl ester, (1R,2R)-rel- (CA INDEX NAME)

Relative stereochemistry.

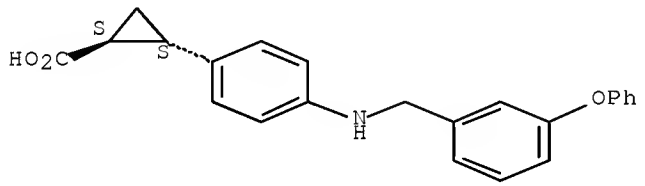


IT 853403-21-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of trans-2-arylcyclopropane-1-carboxylates, including GPR40 agonists, from aldehydes)

RN 853403-21-1 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[4-[[(3-phenoxyphenyl)methyl]amino]phenyl]-, (1R,2R)-rel- (CA INDEX NAME)

Relative stereochemistry.



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2008:674196 CAPLUS Full-text

DOCUMENT NUMBER: 149:32206

TITLE: Preparation of quinolines and related compounds as GPR40 agonists

INVENTOR(S): Negoro, Kenji; Ohnuki, Kei; Kurosaki, Toshio; Iwasaki, Fumiyoshi; Yonetoku, Yasuhiro; Tsuchiya, Kazuyuki; Asai, Norio; Yoshida, Shigeru; Soga, Takatoshi; Suzuki, Daisuke

PATENT ASSIGNEE(S): Astellas Pharma Inc., Japan
SOURCE: PCT Int. Appl., 214 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008066097	A1	20080605	WO 2007-JP73014	20071129
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2007326449	A1	20080605	AU 2007-326449	20071129
CA 2671080	A1	20080605	CA 2007-2671080	20071129
KR 2009083935	A	20090804	KR 2009-712716	20071129
EP 2096109	A1	20090902	EP 2007-832729	20071129
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, RS				
CN 101553469	A	20091007	CN 2007-80043564	20090525
MX 2009005797	A	20090608	MX 2009-5797	20090601
NO 2009002471	A	20090825	NO 2009-2471	20090630

PRIORITY APPLN. INFO.: JP 2006-325388 A 20061201
WO 2007-JP73014 W 20071129

OTHER SOURCE(S): MARPAT 149:32206
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

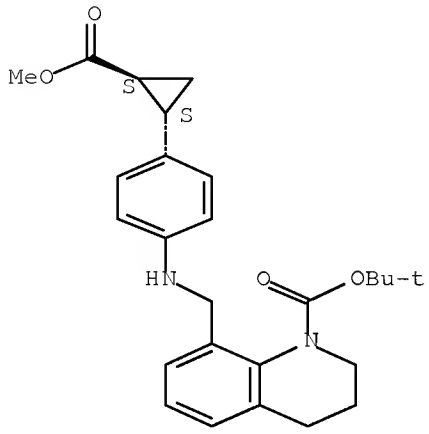
AB Title compds. I [R1 = -H, alkyl, haloalkyl, etc.; n = 0-2; J = -C(R6)(R7)-, -O- or -S-; R2, R3, R6, R7 = -H, halo, alkyl, etc.; R4 = -H or alkyl; X = single bond, -CH2-, -(CH2)2-, etc.; Y = -CH2- or -C(O)-; Z = C(-*), C(R8), N, etc.; * indicates bond to L; X1, X2 = C(R9), N or N(O); X3, X4 = C(R10), N or N(O); R5 = alkyl, halo, haloalkyl, etc.; R8-R10 = -H, alkyl, halo, etc.; L = -O-alkylene, alkylene-O-, -N(R11)-alkylene, etc.; R11 = -H, alkyl or -C(O)R0; R0 = -H or alkyl] or their pharmaceutically acceptable salts were prepared For example, coupling reaction of compound II with 1-bromo-4-fluorobenzene followed by hydrolysis and treatment with HCl afforded III·HCl [R21 = 4-fluorophenyl]. In GPR40 receptor agonistic activity assays, the EC50 value of III·Na [R21 = pyridin-2-yl] was 0.025 μM. Compds. I are claimed useful for the treatment of diabetes.

IT 1030844-75-7P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of quinolines and related compds. as GPR40 agonists)

RN 1030844-75-7 CAPLUS

CN 1(2H)-Quinolinecarboxylic acid, 3,4-dihydro-8-[[[4-[(1R,2R)-2-(methoxycarbonyl)cyclopropyl]phenyl]amino]methyl]-, 1,1-dimethylethyl ester, rel- (CA INDEX NAME)

Relative stereochemistry.

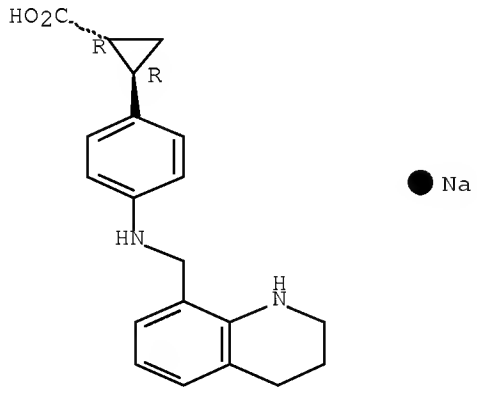


IT 1030841-64-5P 1030841-65-6P 1030841-69-0P
1030844-84-8P 1030845-96-5P 1030845-97-6P
1030846-19-5P 1030846-20-8P 1030848-95-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinolines and related compds. as GPR40 agonists)

RN 1030841-64-5 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[4-[[(1,2,3,4-tetrahydro-8-quinolinyl)methyl]amino]phenyl]-, sodium salt (1:1), (1R,2R)-rel- (CA INDEX NAME)

Relative stereochemistry.

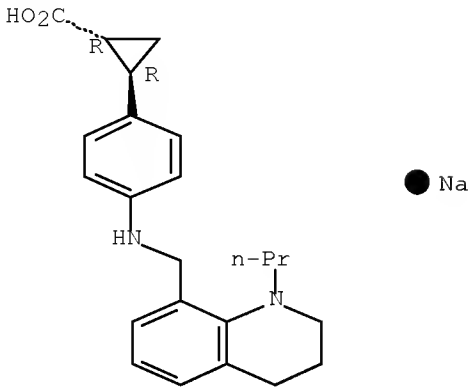


RN 1030841-65-6 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[4-[[(1,2,3,4-tetrahydro-1-propyl-8-quinolinyl)methyl]amino]phenyl]-, sodium salt (1:1), (1R,2R)-rel- (CA

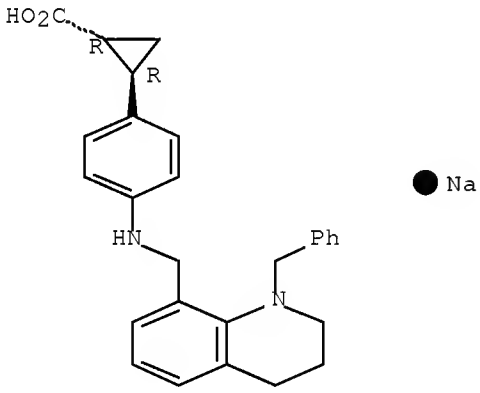
INDEX NAME)

Relative stereochemistry.



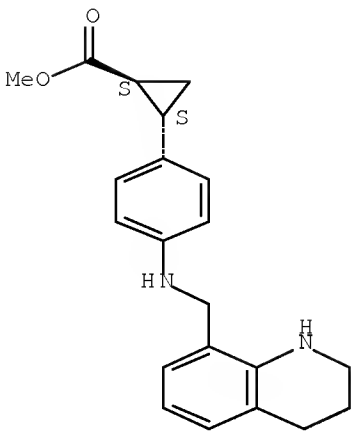
RN 1030841-69-0 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[[1,2,3,4-tetrahydro-1-(phenylmethyl)-8-quinolinyl]methyl]amino]phenyl]-, sodium salt (1:1), (1R,2R)-rel- (CA INDEX NAME)

Relative stereochemistry.



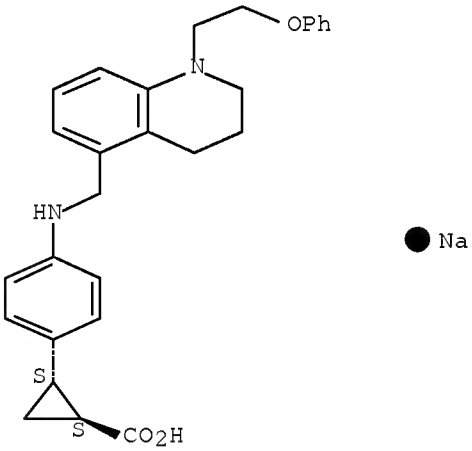
RN 1030844-84-8 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[(1,2,3,4-tetrahydro-8-quinolinyl)methyl]amino]phenyl]-, methyl ester, (1R,2R)-rel- (CA INDEX NAME)

Relative stereochemistry.



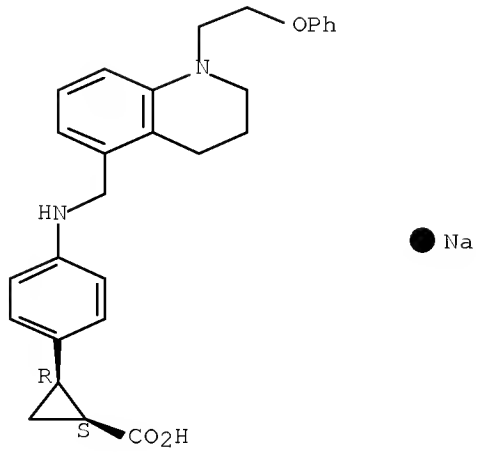
RN 1030845-96-5 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[[1,2,3,4-tetrahydro-1-(2-phenoxyethyl)-5-quinolinyl]methyl]amino]phenyl]-, sodium salt (1:1), (1R,2R)-rel- (CA INDEX NAME)

Relative stereochemistry.



RN 1030845-97-6 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[[1,2,3,4-tetrahydro-1-(2-phenoxyethyl)-5-quinolinyl]methyl]amino]phenyl]-, sodium salt (1:1), (1R,2S)-rel- (CA INDEX NAME)

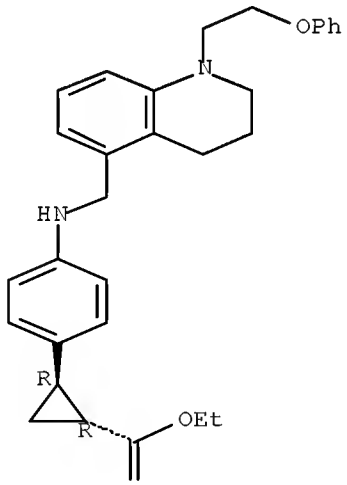
Relative stereochemistry.



RN 1030846-19-5 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[[1,2,3,4-tetrahydro-1-(2-phenoxyethyl)-5-quinolinyl]methyl]amino]phenyl]-, ethyl ester, (1R,2R)-rel- (CA INDEX NAME)

Relative stereochemistry.

PAGE 1-A



PAGE 2-A

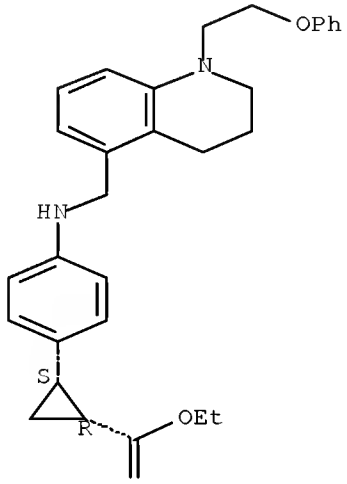
O

RN 1030846-20-8 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[[1,2,3,4-tetrahydro-1-(2-phenoxyethyl)-

5-quinolinyl]methyl]amino]phenyl]-, ethyl ester, (1R,2S)-rel- (CA INDEX NAME)

Relative stereochemistry.

PAGE 1-A

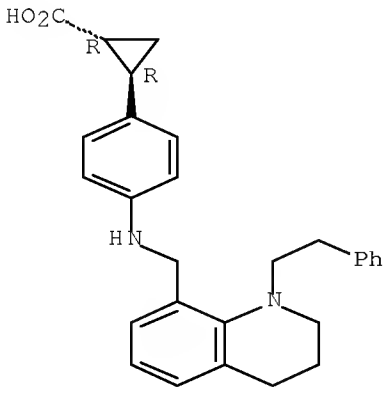


PAGE 2-A

O

RN 1030848-95-3 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[[1,2,3,4-tetrahydro-1-(2-phenylethyl)-8-quinolinyl]methyl]amino]phenyl]-, (1R,2R)-rel- (CA INDEX NAME)

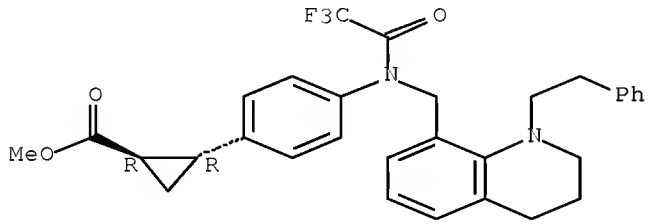
Relative stereochemistry.



IT 1030846-63-9P 1030847-20-1P 1030847-38-1P
1030847-41-6P 1030847-45-0P 1030847-51-8P
1030847-63-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of quinolines and related compds. as GPR40 agonists)

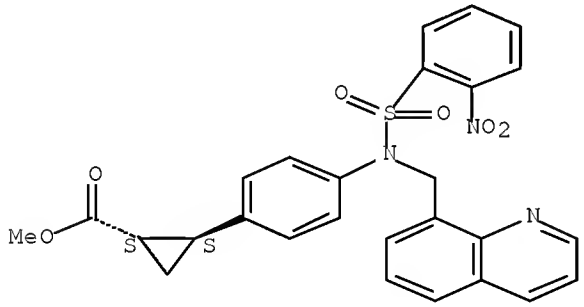
RN 1030846-63-9 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[[1,2,3,4-tetrahydro-1-(2-phenylethyl)-8-quinolinyl]methyl](2,2,2-trifluoroacetyl)amino]phenyl]-, methyl ester, (1R,2R)-rel- (CA INDEX NAME)

Relative stereochemistry.



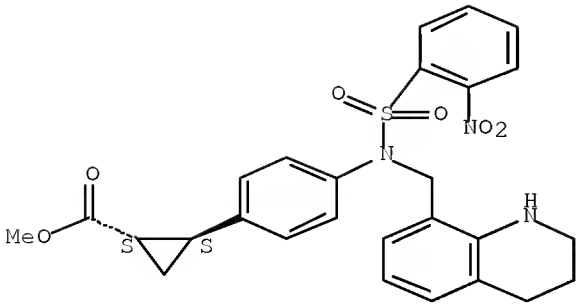
RN 1030847-20-1 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[(2-nitrophenyl)sulfonyl](8-quinolinylmethyl)amino]phenyl]-, methyl ester, (1R,2R)-rel- (CA INDEX NAME)

Relative stereochemistry.



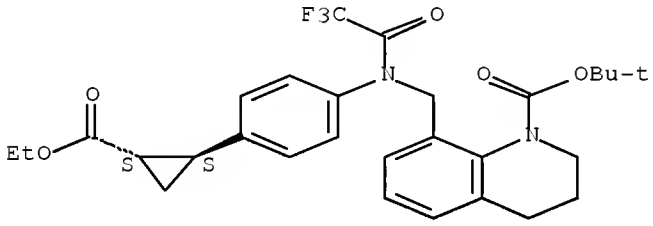
RN 1030847-38-1 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[(2-nitrophenyl)sulfonyl][(1,2,3,4-tetrahydro-8-quinolinyl)methyl]amino]phenyl]-, methyl ester, (1R,2R)-rel- (CA INDEX NAME)

Relative stereochemistry.



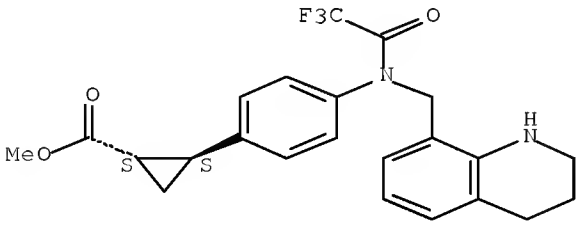
RN 1030847-41-6 CAPLUS
CN 1(2H)-Quinolinecarboxylic acid, 8-[[[4-[(1R,2R)-2-(ethoxycarbonyl)cyclopropyl]phenyl](2,2,2-trifluoroacetyl)amino]methyl]-3,4-dihydro-, 1,1-dimethylethyl ester, rel- (CA INDEX NAME)

Relative stereochemistry.



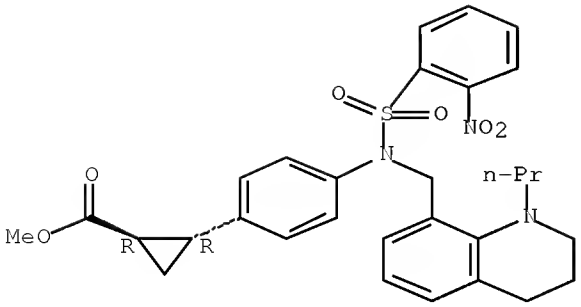
RN 1030847-45-0 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[(1,2,3,4-tetrahydro-8-quinolinyl)methyl](2,2,2-trifluoroacetyl)amino]phenyl]-, methyl ester, (1R,2R)-rel- (CA INDEX NAME)

Relative stereochemistry.



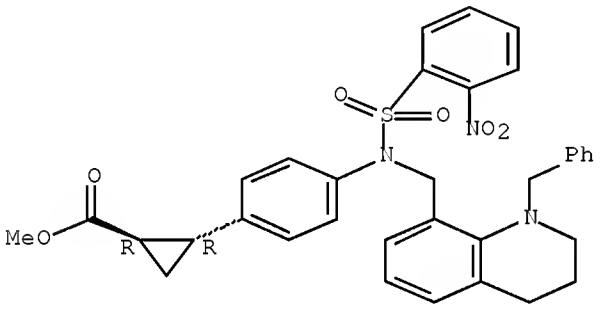
RN 1030847-51-8 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[(2-nitrophenyl)sulfonyl][(1,2,3,4-tetrahydro-1-propyl-8-quinolinyl)methyl]amino]phenyl]-, methyl ester, (1R,2R)-rel- (CA INDEX NAME)

Relative stereochemistry.



RN 1030847-63-2 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[(2-nitrophenyl)sulfonyl][[1,2,3,4-tetrahydro-1-(phenylmethyl)-8-quinolinyl]methyl]amino]phenyl]-, methyl ester, (1R,2R)-rel- (CA INDEX NAME)

Relative stereochemistry.



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

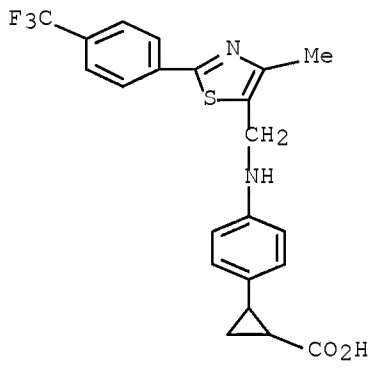
L4 ANSWER 3 OF 17 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2008:61860 CAPLUS Full-text
DOCUMENT NUMBER: 148:298903
TITLE: Discovery of novel agonists and antagonists of the free fatty acid receptor 1 (FFAR1) using virtual screening
AUTHOR(S): Tikhonova, Irina G.; Sum, Chi Shing; Neumann, Susanne; Engel, Stanislav; Raaka, Bruce M.; Costanzi, Stefano; Gershengorn, Marvin C.
CORPORATE SOURCE: Laboratory of Biological Modeling and Clinical Endocrinology Branch, National Institute of Diabetes and Digestive and Kidney Diseases, National Institutes of Health, Bethesda, MD, 20892, USA
SOURCE: Journal of Medicinal Chemistry (2008), 51(3), 625-633
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The G-protein-coupled receptor free fatty acid receptor 1 (FFAR1), previously named GPR40, is a possible novel target for the treatment of type 2 diabetes. In an attempt to identify new ligands for this receptor, we performed virtual screening (VS) based on 2-dimensional (2D) similarity, 3-dimensional (3D) pharmacophore searches, and docking studies by the structure of known agonists and our model of the ligand binding site, which was validated by mutagenesis. VS of a database of 2.6 million compds. followed by extraction of structural neighbors of functionally confirmed hits resulted in identification of 15 compds. active at FFAR1 either as full agonists, partial agonists, or pure antagonists. Site-directed mutagenesis and docking studies revealed different patterns of ligand-receptor interactions and provided important information on the role of specific amino acids in binding and activation of FFAR1.

IT 1009031-48-4
RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(discovery of agonists and antagonists of FFAR1 using virtual screening)

RN 1009031-48-4 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[4-[[[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]methyl]amino]phenyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 25 THERE ARE 25 CAPLUS RECORDS THAT CITE THIS RECORD (25 CITINGS)

REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2007:427285 CAPLUS Full-text

DOCUMENT NUMBER: 147:45843

TITLE: Uncovering the pharmacology of the G protein-coupled receptor GPR40: high apparent constitutive activity in guanosine 5'-O-(3-[35S]thio)triphosphate binding studies reflects binding of an endogenous agonist

AUTHOR(S): Stoddart, Leigh A.; Brown, Andrew J.; Milligan, Graeme

CORPORATE SOURCE: Molecular Pharmacology Group, Division of Biochemistry and Molecular Biology, Institute of Biomedical and Life Sciences, University of Glasgow, Glasgow, UK

SOURCE: Molecular Pharmacology (2007), 71(4), 994-1005
CODEN: MOPMA3; ISSN: 0026-895X

PUBLISHER: American Society for Pharmacology and Experimental Therapeutics

DOCUMENT TYPE: Journal
LANGUAGE: English

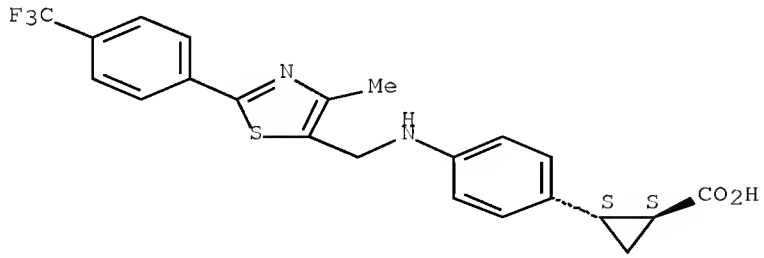
AB In cells lacking expression of Ca2+-mobilizing G proteins, coexpression of human GPR40 and Gαq allowed medium- and long-chain fatty acids to elevate intracellular [Ca2+]. This was also observed when human embryonic kidney (HEK) 293 cells were transfected with a GPR40-Gαq fusion protein. The kinetic of elevation of intracellular [Ca2+] slowed with increasing fatty acid chain length, suggesting different ligand on-rates, whereas the addition of fatty acid-free bovine serum albumin reduced signals, presumably by binding the fatty acids. To allow effective ligand equilibration, GPR40-Gαq was used in guanosine 5'-O-(3-[35S]thio)triphosphate ([35S]GTPγS) binding assays. After expression of GPR40-Gαq in HEK293 cells and membrane preparation basal binding of [35S]GTPγS in Gαq immunoppts. was high and not elevated substantially by fatty acids. However, treatment of membranes with fatty acid-free bovine serum albumin reduced the basal [35S]GTPγS binding in a concentration-dependent manner and allowed the responsiveness and pharmacol. at GPR40 of each of the fatty acids, thiazolidinediones and a novel small-mol. agonist to be uncovered. Membranes of rat INS-1E cells that express GPR40 endogenously provided similar observations. The high apparent constitutive activity of GPR40-Gαq was also reversed by a small-mol. GPR40 antagonist, and basal [35S]GTPγS binding was prevented by the selective Gαq/Gα11 inhibitor YM254890. The current studies provide novel insights into the pharmacol. of GPR40 and indicate that G protein-coupled receptors which respond to fatty acids, and potentially to other lipid ligands, can be occupied by endogenous agonists before assay and that this may mask the pharmacol. of the receptor and may be mistaken for high levels of constitutive activity.

IT 853403-47-1, GSK 250089A
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); BIOL (Biological study)
(G protein-coupled receptor GPR40 pharmacol.)

RN 853403-47-1 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[4-[[[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]methyl]amino]phenyl]-, (1S,2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



OS.CITING REF COUNT: 17 THERE ARE 17 CAPLUS RECORDS THAT CITE THIS RECORD (17 CITINGS)
REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2007:228788 CAPLUS Full-text
DOCUMENT NUMBER: 146:421669
TITLE: Solid phase synthesis and SAR of small molecule

agonists for the GPR40 receptor

AUTHOR(S): McKeown, Stephen C.; Corbett, David F.; Goetz, Aaron S.; Littleton, Thomas R.; Bigham, Eric; Briscoe, Celia P.; Peat, Andrew J.; Watson, Steve P.; Hickey, Deirdre M. B.

CORPORATE SOURCE: Molecular Discovery Research, GlaxoSmithKline, Harlow, Essex, CM19 5AW, UK

SOURCE: Bioorganic & Medicinal Chemistry Letters (2007), 17(6), 1584-1589
CODEN: BMCLE8; ISSN: 0960-894X

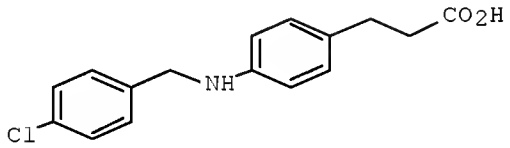
PUBLISHER: Elsevier Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 146:421669

GI



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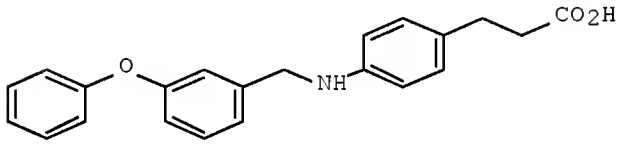


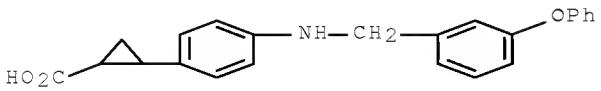
II

AB The discovery, synthesis and structure-activity relationship (SAR) of novel carboxylic acid agonists for GPR40 are described. Aryl propionic acid I, identified from a high throughput screen, was selected for chemical exploration. Compound II was identified as our lead mol. through efficient solid phase combinatorial array chemical and had an attractive in vitro and in vivo pharmacokinetic profile in rat. These ligands may prove useful in establishing a role for GPR40 in insulin regulation.

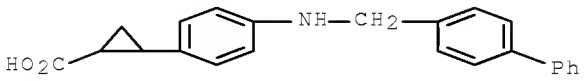
IT 934279-34-2P 934279-38-6P
RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation)
(solid phase synthesis and SAR of small mol. carboxylic acid agonists for the GPR40 receptor)

RN 934279-34-2 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[4-[[(3-phenoxyphenyl)methyl]amino]phenyl]- (CA INDEX NAME)



RN 934279-38-6 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[([1,1'-biphenyl]-4-ylmethyl)amino]phenyl]- (CA INDEX NAME)

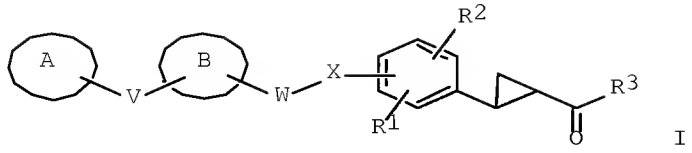


OS.CITING REF COUNT: 15 THERE ARE 15 CAPLUS RECORDS THAT CITE THIS RECORD (15 CITINGS)
REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 17 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2007:113598 CAPLUS Full-text
DOCUMENT NUMBER: 146:184252
TITLE: Preparation of 2-phenylcyclopropanecarboxylic acid derivatives having GPR40 receptor agonistic activity
INVENTOR(S): Yasuma, Tsuneo; Negoro, Nobuyuki
PATENT ASSIGNEE(S): Takeda Pharmaceutical Company Limited, Japan
SOURCE: PCT Int. Appl., 100pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007013689	A1	20070201	WO 2006-JP315444	20060728
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1916234	A1	20080430	EP 2006-782304	20060728
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				

PRIORITY APPLN. INFO.: JP 2005-222010 A 20050729
WO 2006-JP315444 W 20060728
OTHER SOURCE(S): MARPAT 146:184252
GI



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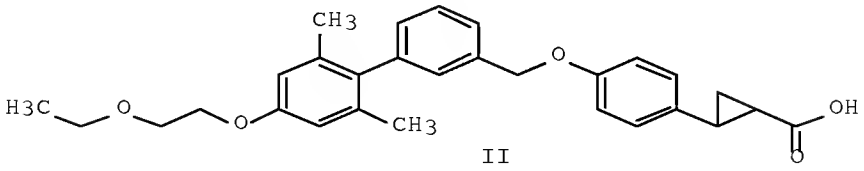


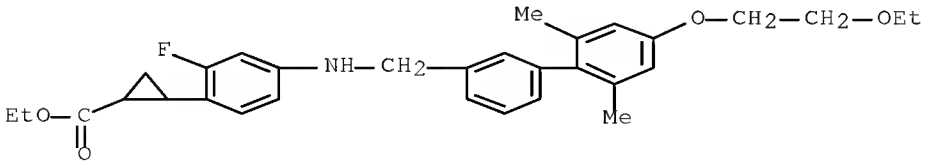
II

AB Title compds. I [ring A = (un)substituted cyclic group; ring B = (un)substituted cycle; V = bond or spacer; W = (un)substituted alkylene; X = O or S; R1, R2 = H, halo, alkyl, or alkoxy; R3 = (un)substituted hydroxy or (un)substituted amino; when V is bond and W is methylene, ring B is neither oxazole nor thiazole.] and salts thereof (except 2-(2-[[6-(benzyloxy)-2-naphthyl]methoxy]phenyl)cyclopropnecarboxylic acid) were prepared For example, cyclopropanation of (2E)-3-(4-[[4'-(2-ethoxyethoxy)-2',6'-dimethylbiphenyl-3- yl]methoxy]phenyl)acrylic acid Me ester, e.g., prepared from 4-bromo-3,5-dimethylphenol in 4 steps, using diazomethane followed by hydrolysis afforded compound II. In human GPR40 receptor agonistic activity assays, compound II showed the relative activity of 111% compared to γ-linolenic acid. Compds. I are claimed for the treatment of diabetes.

IT 922151-64-2P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of 2-phenylcyclopropanecarboxylic acid derivs. having GPR40 receptor agonistic activity)

RN 922151-64-2 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[4-[[[4'-(2-ethoxyethoxy)-2',6'-dimethyl[1,1'-biphenyl]-3-yl]methyl]amino]-2-fluorophenyl]-, ethyl ester (CA INDEX NAME)

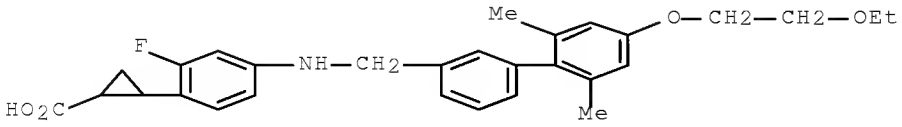


IT 922151-66-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-phenylcyclopropanecarboxylic acid derivs. having GPR40 receptor agonistic activity)

RN 922151-66-4 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[4-[[[4'-(2-ethoxyethoxy)-2',6'-

dimethyl[1,1'-biphenyl]-3-yl]methyl]amino]-2-fluorophenyl]- (CA INDEX NAME)

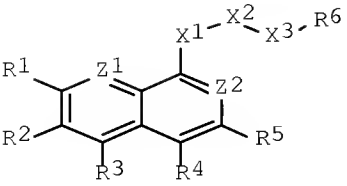


OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

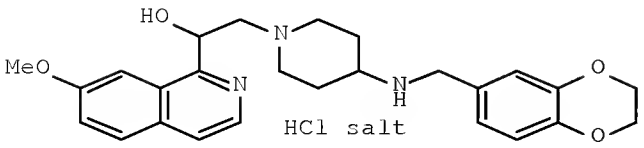
L4 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2006:410015 CAPLUS Full-text
DOCUMENT NUMBER: 144:450627
TITLE: Preparation of novel nitrogenous heterocyclic compounds and salts thereof as antibacterial agents
INVENTOR(S): Kiyoto, Taro; Tsutsui, Yasuhiro; Tanaka, Tadashi; Shimada, Sumie; Nomura, Nobuhiko; Noguchi, Toshiya; Ushiyama, Fumihito; Ushiki, Yasunobu
PATENT ASSIGNEE(S): Toyama Chemical Co., Ltd., Japan; Taisho Pharmaceutical Co., Ltd.
SOURCE: PCT Int. Appl., 281 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006046552	A1	20060504	WO 2005-JP19586	20051025
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.: JP 2004-311942 A 20041027
OTHER SOURCE(S): MARPAT 144:450627
GI



I



HCl salt

II

AB Compds. represented by the general formula (I) including quinoline or isoquinoline derivs., or salts thereof [wherein R1 = halo, cyano, (un)protected CO2H, (un)substituted alkyl, alkoxy, acyloxy; R2-R5 = H, halo, cyano, (un)protected CO2H, (un)substituted alkyl, alkenyl, alkoxy, NH2, CONH2; Z1, Z2 = N or (un)substituted CH, provided that at least one of Z1 and Z2 = N; X1 = O, S, S(O), S(O)2, each (un)substituted NH or CH2; X2 = a bond, CO, (un)substituted NH; X3 = C1-4 alkylene or a bond; R6 = Q-Q6; wherein R1 = more than one H, halo, (un)substituted HO or CO2H or each (un)substituted NH2, lower alkyl, alkoxy, or CONH2; R11a, R11 b, R11c = H, halo, (un)protected HO or CO2H, (un)substituted NH2, lower alkyl, alkoxy, CONH2; R12 = -X6-X4-R14, -X7-C(:NH)-NH-X5-R14 -X7-CONH-R14; wherein R14 = H, (un)protected CO2H, each (un)substituted cycloalkyl, cycloalkenyl, aralkyl, aryl, or heterocyclyl; X4 = a bond, O, S, CO; X5 = a bond, (un)substituted alkylene; X6 = each (un)substituted alkylene, alkenylene, or alkynylene, SO2; X7 = a bond, (un)substituted alkylene; R13 = H, (un)substituted NH2, each (un)substituted alkyl or aryl] or salts thereof are prepared These compds. have potent antibacterial activity against Gram-neg., Gram-pos., and resistant bacteria with high safety and are therefore useful as excellent antibacterial agents. Thus, reductive alkylation of 2-(4-aminopiperidin-1-yl)-1-(7-methoxyisoquinolin-1- yl)ethanol with 1,4-benzodioxan-6-carboxaldehyde using NaBH4 followed treatment with 4 N HCl/dioxane gave 2-(4-((2,3-dihydrobenzo[b][1,4]dioxin-6-yl)methylamino)piperidin-1-yl)-1- (7-methoxyisoquinolin-1-yl)ethanol hydrochloride (II). II showed min. inhibitory concentration of 0.0313 μg/mL against both Staphylococcus aureus FDA209 and methicillin-resistant S. aureus F3095 (MRSA).

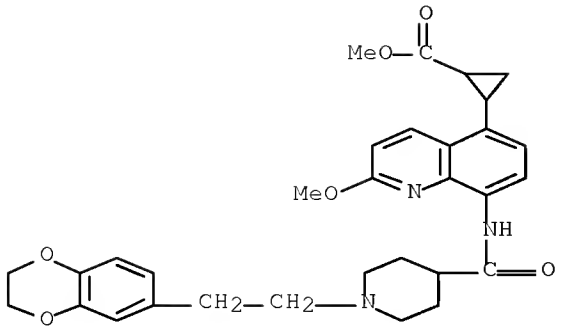
IT 885689-62-3P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

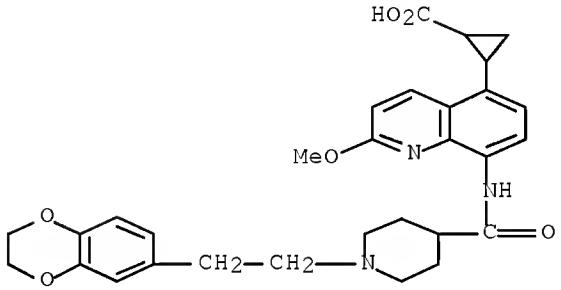
(preparation of nitrogenous heterocyclic compds. as antibacterial agents)

RN 885689-62-3 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[8-[[[1-[2-(2,3-dihydro-1,4-benzodioxin-6-yl)ethyl]-4-piperidinyl]carbonyl]amino]-2-methoxy-5-quinolinyl]-, methyl ester (CA INDEX NAME)



IT 885689-64-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of nitrogenous heterocyclic compds. as antibacterial agents)
RN 885689-64-5 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[8-[[[1-[2-(2,3-dihydro-1,4-benzodioxin-6-yl)ethyl]-4-piperidinyl]carbonyl]amino]-2-methoxy-5-quinolinyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2006:188876 CAPLUS Full-text
DOCUMENT NUMBER: 144:432528
TITLE: Synthesis and activity of small molecule GPR40 agonists
AUTHOR(S): Garrido, Dulce M.; Corbett, David F.; Dwornik, Kate A.; Goetz, Aaron S.; Littleton, Thomas R.; McKeown, Steve C.; Mills, Wendy Y.; Smalley, Terrence L.; Briscoe, Celia P.; Peat, Andrew J.
CORPORATE SOURCE: GlaxoSmithKline Research and Development, Research Triangle Park, NC, 27709, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2006), 16(7), 1840-1845
CODEN: BMCLE8; ISSN: 0960-894X

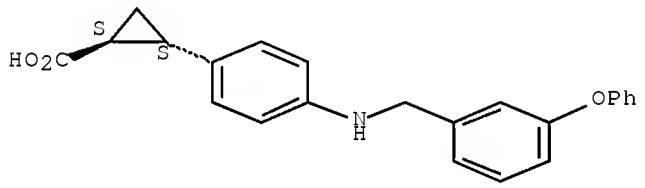
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 144:432528

AB The identification and structure-activity relationships of a novel series of GPR40 agonists based on a 3-(4-{[N-alkyl]amino}phenyl)propanoic acid template is described. Structural modifications to the original screening hit yielded compds. with a 100-fold increase in potency at the human GPR40 receptor and pEC50s in the low nanomolar range. The carboxylic acid moiety is not critical for activity but typically elicits an agonistic response higher than those observed with carboxamide replacements. These compds. may prove useful in unraveling the therapeutic potential of this receptor for the treatment of Type 2 diabetes.

IT 853403-21-1P 853403-33-5P 853403-46-0P
853403-47-1P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation and activity of alkylaminophenylpropanoic acids as GPR40 agonists)

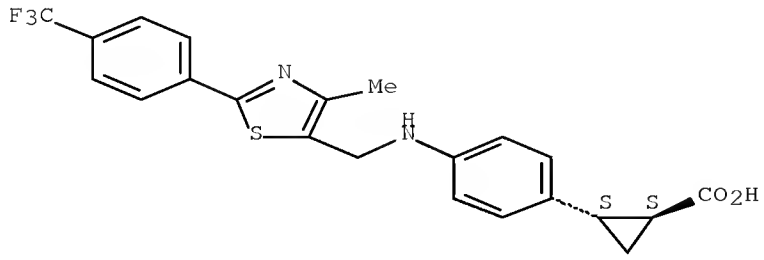
RN 853403-21-1 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[(3-phenoxyphenyl)methyl]amino]phenyl]-, (1R,2R)-rel- (CA INDEX NAME)

Relative stereochemistry.



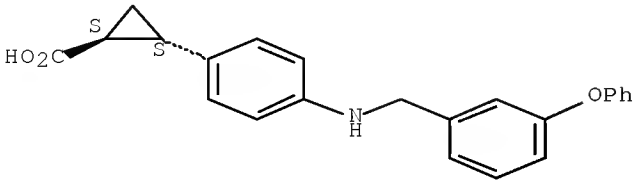
RN 853403-33-5 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]methyl]amino]phenyl]-, (1R,2R)-rel- (CA INDEX NAME)

Relative stereochemistry.



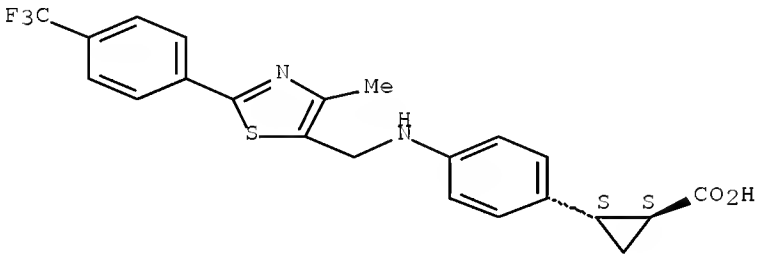
RN 853403-46-0 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[(3-phenoxyphenyl)methyl]amino]phenyl]-, (1S,2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



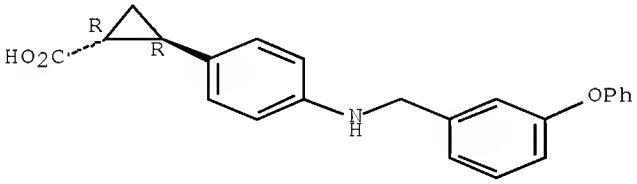
RN 853403-47-1 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]methyl]amino]phenyl]-, (1S,2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



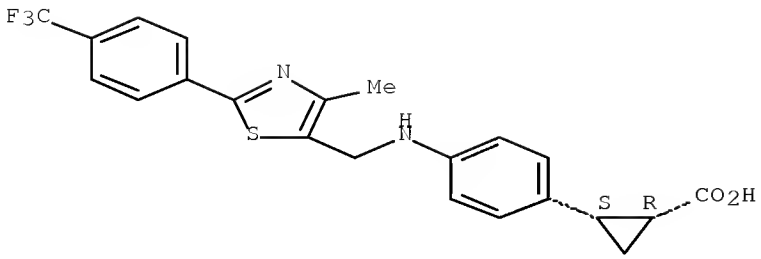
IT 853403-45-9P 853403-50-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and activity of alkylaminophenylpropanoic acids as GPR40 agonists)
RN 853403-45-9 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[(3-phenoxyphenyl)methyl]amino]phenyl]-, (1R,2R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 853403-50-6 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]methyl]amino]phenyl]-, (1R,2S)-rel-(-)- (CA INDEX NAME)

Rotation (-). Absolute stereochemistry unknown.



OS.CITING REF COUNT: 30 THERE ARE 30 CAPLUS RECORDS THAT CITE THIS RECORD (30 CITINGS)
REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 17 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2005:570889 CAPLUS Full-text
DOCUMENT NUMBER: 143:97111
TITLE: Preparation of cyclopropane amine derivatives as aggrecanase and MMP inhibitors
INVENTOR(S): Inaba, Takashi; Haas, Julia; Shiozaki, Makoto; Littman, Nicole M.; Yasue, Katsutaka; Andrews, Steven W.; Sakai, Atushi; Fryer, Andrew M.; Matsuo, Takafumi; Laird, Ellen R.; Suma, Akira; Shinozaki, Yuichi; Hori, Yoshikazu; Imai, Hiroto; Negoro, Tamotsu
PATENT ASSIGNEE(S): Japan Tobacco Inc., Japan
SOURCE: PCT Int. Appl., 455 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

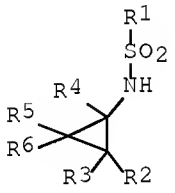
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005058884	A2	20050630	WO 2004-US41852	20041214
WO 2005058884	A3	20050909		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004299455	A1	20050630	AU 2004-299455	20041214
CA 2549660	A1	20050630	CA 2004-2549660	20041214
EP 1694410	A2	20060830	EP 2004-814080	20041214
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU				
CN 1901971	A	20070124	CN 2004-80037406	20041214
JP 2007516982	T	20070628	JP 2006-545808	20041214
ZA 2006005248	A	20071031	ZA 2006-5248	20041214

US 20060199826	A1	20060907	US 2004-11773		20041215
US 7351825	B2	20080401			
IN 2006KN01655	A	20070511	IN 2006-KN1655		20060614
KR 2006132615	A	20061221	KR 2006-711793		20060615
US 20080261994	A1	20081023	US 2008-16755		20080118
US 20080306258	A1	20081211	US 2008-149683		20080506
PRIORITY APPLN. INFO.:			US 2003-529116P	P	20031215
			WO 2004-US41852	W	20041214
			US 2004-11773	A1	20041215
			US 2008-16755	A1	20080118

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 143:97111; MARPAT 143:97111

GI



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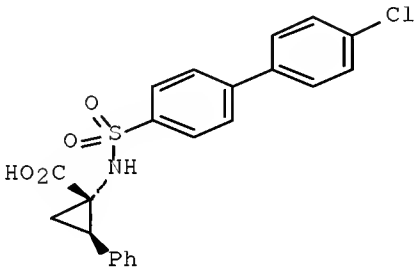


II

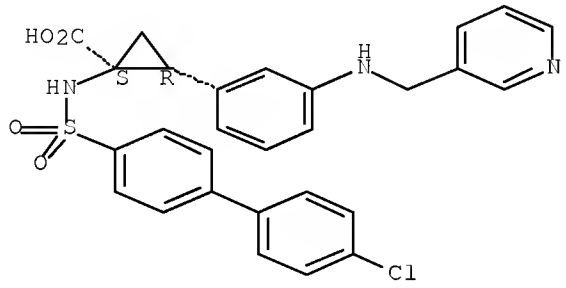
AB Title compds. I [R1 = (un)substituted alkyl, -(CH2)m-X-(CH2)n-A; m = 0-6; n = 0-6; X = linker such as single bond, alkylene group, alkenylene group, etc.; A = substituted hydrocarbon ring or heterocycle; R2 and R3 independently = -(CH2)p-X1-(CH2)q-A1, -(CH2)x-X2-(CH2)y-R7; p = 0-6; q = 0-6; X1 = linker such as -O-, -CO-, -COO-, etc.; A1 = (un)substituted hydrocarbon ring or heterocycle; x = 0-6; y = 0-6; X2 = linker such as -OCO-, alkynylene group, single bond, etc.; R7 = H, halo, OH, etc.; R4 = SH, -CH2SH, -CH2OH, etc.; R5 and R6 independently = -(CH2)x-X3-(CH2)y-A3; -(CH2)x-X4-(CH2)y-R8; X3 = linker such as alkylene group, -O-, -CO-, etc.; A3 = (un)substituted hydrocarbon ring or heterocycle; X4 = linker such as -OCO-, -COO-, single bond, etc.; R8 = NO2, CN, NH2, etc.] and their pharmaceutically acceptable salts, are prepared and disclosed as inhibitors of aggrecanase and MMP. Thus, e.g., II was prepared by deprotection of com. available (1R,2S)-1-tert-butoxycarbonylamino-2-phenylcyclopropanecarboxylic acid followed by coupling with 4-chlorobiphenylsulfonic acid chloride. The activity of I to inhibit aggrecanase and MMP was evaluated using particle assay and fluorescence assay, resp., and it was revealed that compds. of the invention displayed IC50 values in the range of less than 0.1 μM up to not less than 10 μM in both assays. I as inhibitor of aggrecanase and MMP should prove useful in the treatment of osteoarthritis and rheumatoid arthritis. Pharmaceutical compns. comprising I are disclosed.

IT 856440-01-2P 856440-60-3P 856440-79-4P
856440-80-7P 856440-81-8P 856441-07-1P
856441-18-4P 856441-29-7P 856441-46-8P
856442-03-0P 856443-42-0P 856443-52-2P
856443-82-8P 856443-83-9P 856444-20-7P
856444-21-8P 856444-30-9P 856444-31-0P
856449-43-9P 856449-48-4P 856449-49-5P

856449-63-3P 856449-64-4P 856451-69-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of cyclopropane amine derivs. as aggrecanase and MMP inhibitors)

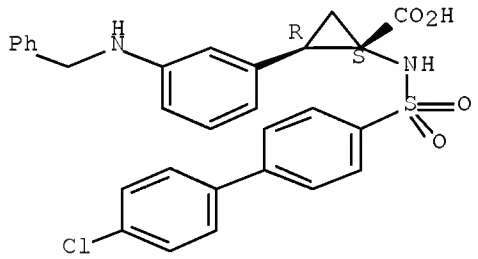
RN 856440-01-2 CAPLUS
CN Cyclopropanecarboxylic acid, 1-[[(4'-chloro[1,1'-biphenyl]-4-yl)sulfonyl]amino]-2-[3-[(3-pyridinylmethyl)amino]phenyl]-, (1R,2S)-rel- (CA INDEX NAME)

Relative stereochemistry.



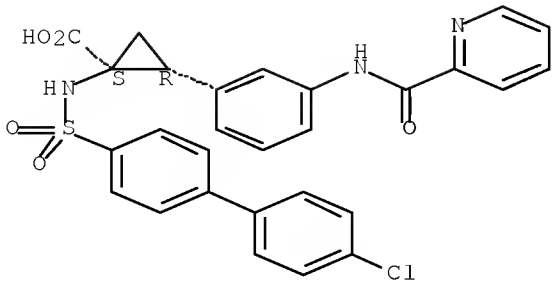
RN 856440-60-3 CAPLUS
CN Cyclopropanecarboxylic acid, 1-[[(4'-chloro[1,1'-biphenyl]-4-yl)sulfonyl]amino]-2-[3-[(phenylmethyl)amino]phenyl]-, (1R,2S)-rel- (CA INDEX NAME)

Relative stereochemistry.



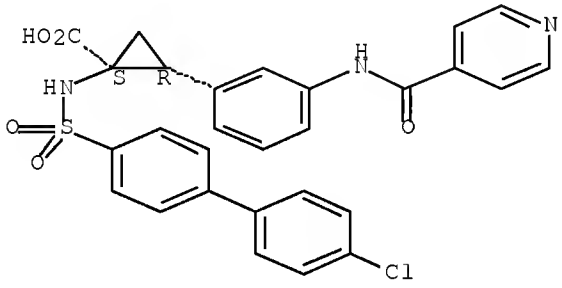
RN 856440-79-4 CAPLUS
CN Cyclopropanecarboxylic acid, 1-[[(4'-chloro[1,1'-biphenyl]-4-yl)sulfonyl]amino]-2-[3-[(2-pyridinylcarbonyl)amino]phenyl]-, (1R,2S)-rel- (CA INDEX NAME)

Relative stereochemistry.



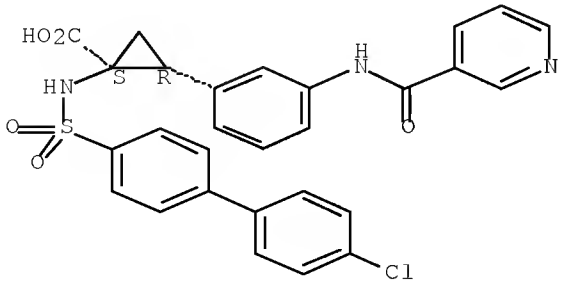
RN 856440-80-7 CAPLUS
CN Cyclopropanecarboxylic acid, 1-[[(4'-chloro[1,1'-biphenyl]-4-yl)sulfonyl]amino]-2-[3-[(4-pyridinylcarbonyl)amino]phenyl]-, (1R,2S)-rel- (CA INDEX NAME)

Relative stereochemistry.



RN 856440-81-8 CAPLUS
CN Cyclopropanecarboxylic acid, 1-[[(4'-chloro[1,1'-biphenyl]-4-yl)sulfonyl]amino]-2-[3-[(3-pyridinylcarbonyl)amino]phenyl]-, (1R,2S)-rel- (CA INDEX NAME)

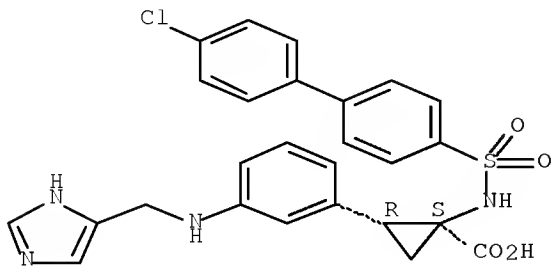
Relative stereochemistry.



RN 856441-07-1 CAPLUS
CN Cyclopropanecarboxylic acid, 1-[[(4'-chloro[1,1'-biphenyl]-4-

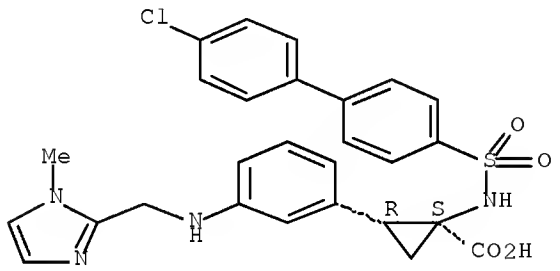
yl)sulfonyl]amino]-2-[3-[(1H-imidazol-5-ylmethyl)amino]phenyl]-,
(1R,2S)-rel- (CA INDEX NAME)

Relative stereochemistry.



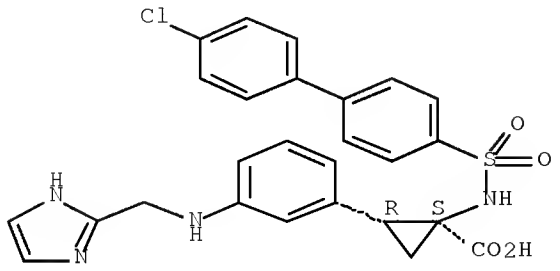
RN 856441-18-4 CAPLUS
CN Cyclopropanecarboxylic acid, 1-[[(4'-chloro[1,1'-biphenyl]-4-
yl)sulfonyl]amino]-2-[3-[[(1-methyl-1H-imidazol-2-yl)methyl]amino]phenyl]-
, (1R,2S)-rel- (CA INDEX NAME)

Relative stereochemistry.



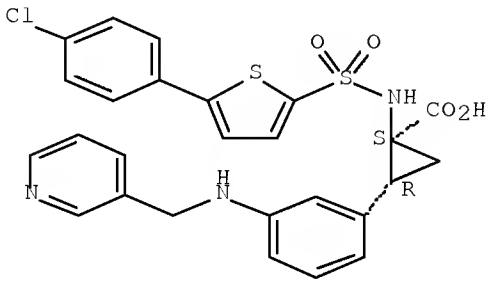
RN 856441-29-7 CAPLUS
CN Cyclopropanecarboxylic acid, 1-[[(4'-chloro[1,1'-biphenyl]-4-
yl)sulfonyl]amino]-2-[3-[(1H-imidazol-2-ylmethyl)amino]phenyl]-,
(1R,2S)-rel- (CA INDEX NAME)

Relative stereochemistry.



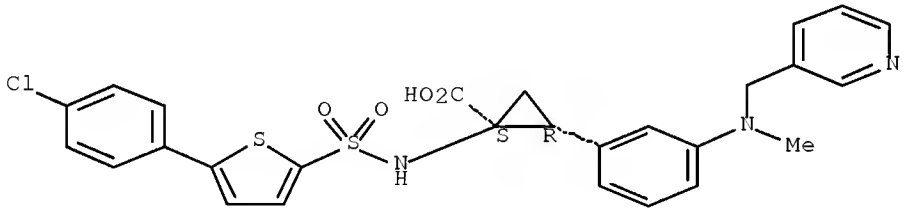
RN 856441-46-8 CAPLUS
CN Cyclopropanecarboxylic acid, 1-[[[5-(4-chlorophenyl)-2-thienyl]sulfonyl]amino]-2-[3-[(3-pyridinylmethyl)amino]phenyl]-, (1R,2S)-rel- (CA INDEX NAME)

Relative stereochemistry.



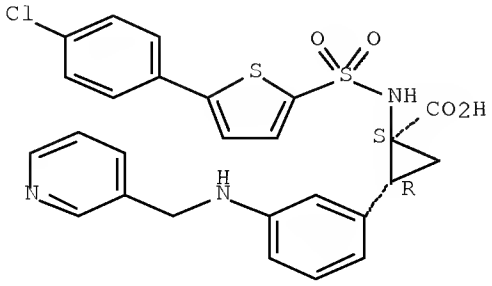
RN 856442-03-0 CAPLUS
CN Cyclopropanecarboxylic acid, 1-[[[5-(4-chlorophenyl)-2-thienyl]sulfonyl]amino]-2-[3-[methyl(3-pyridinylmethyl)amino]phenyl]-, (1R,2S)-rel- (CA INDEX NAME)

Relative stereochemistry.



RN 856443-42-0 CAPLUS
CN Cyclopropanecarboxylic acid, 1-[[[5-(4-chlorophenyl)-2-thienyl]sulfonyl]amino]-2-[3-[(3-pyridinylmethyl)amino]phenyl]-, hydrochloride (1:1), (1S,2R)- (CA INDEX NAME)

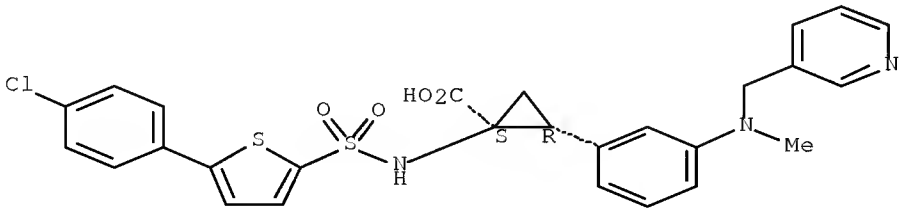
Absolute stereochemistry.



● HCl

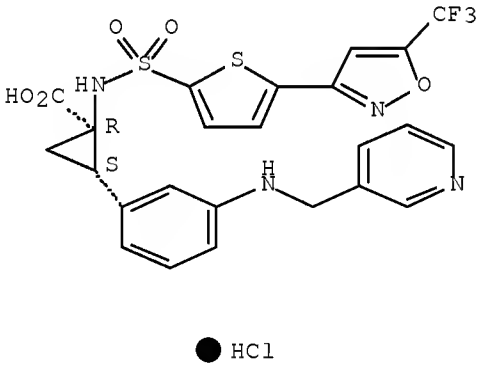
RN 856443-52-2 CAPLUS
CN Cyclopropanecarboxylic acid, 1-[[[5-(4-chlorophenyl)-2-thienyl]sulfonyl]amino]-2-[3-[methyl(3-pyridinylmethyl)amino]phenyl]-, (1S,2R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 856443-82-8 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[3-[(3-pyridinylmethyl)amino]phenyl]-1-[[[5-[5-(trifluoromethyl)-3-isoxazolyl]-2-thienyl]sulfonyl]amino]-, hydrochloride (1:1), (1R,2S)-rel- (CA INDEX NAME)

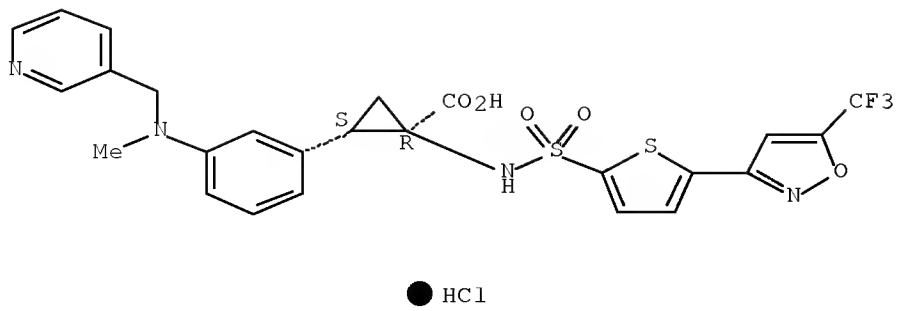
Relative stereochemistry.



HCl

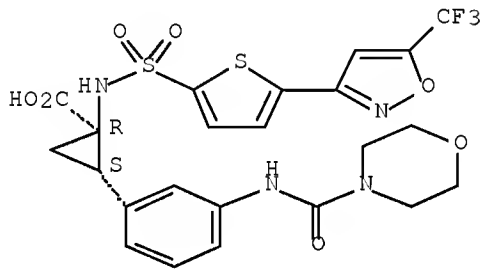
RN 856443-83-9 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[3-[methyl(3-pyridinylmethyl)amino]phenyl]-1-[[[5-[5-(trifluoromethyl)-3-isoxazolyl]-2-thienyl]sulfonyl]amino]-, hydrochloride (1:1), (1R,2S)-rel- (CA INDEX NAME)

Relative stereochemistry.



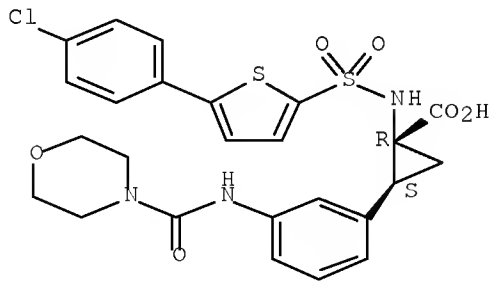
RN 856444-20-7 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[3-[(4-morpholinylcarbonyl)amino]phenyl]-1-[[[5-[5-(trifluoromethyl)-3-isoxazolyl]-2-thienyl]sulfonyl]amino]-, (1R,2S)-rel- (CA INDEX NAME)

Relative stereochemistry.



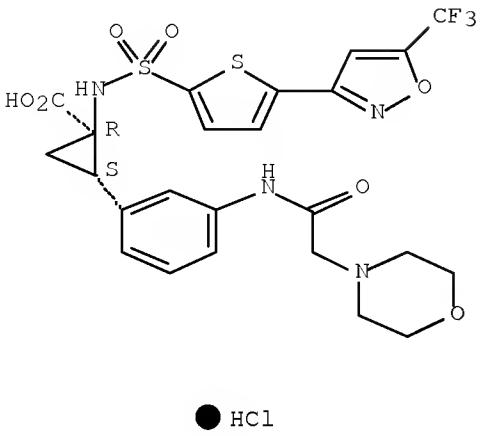
RN 856444-21-8 CAPLUS
CN Cyclopropanecarboxylic acid, 1-[[[5-(4-chlorophenyl)-2-thienyl]sulfonyl]amino]-2-[3-[(4-morpholinylcarbonyl)amino]phenyl]-, (1R,2S)-rel- (CA INDEX NAME)

Relative stereochemistry.



RN 856444-30-9 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[3-[[2-(4-morpholinyl)acetyl]amino]phenyl]-1-[[[5-[5-(trifluoromethyl)-3-isoxazolyl]-2-thienyl]sulfonyl]amino]-, hydrochloride (1:1), (1R,2S)-rel- (CA INDEX NAME)

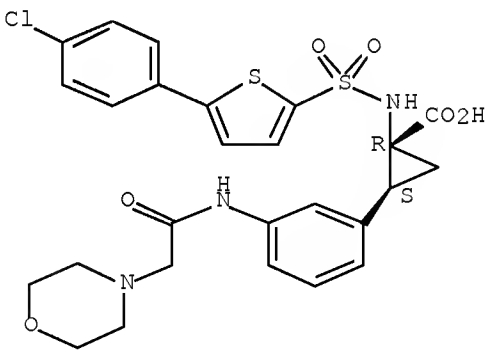
Relative stereochemistry.



● HCl

RN 856444-31-0 CAPLUS
CN Cyclopropanecarboxylic acid, 1-[[[5-(4-chlorophenyl)-2-thienyl]sulfonyl]amino]-2-[3-[[2-(4-morpholinyl)acetyl]amino]phenyl]-, hydrochloride (1:1), (1R,2S)-rel- (CA INDEX NAME)

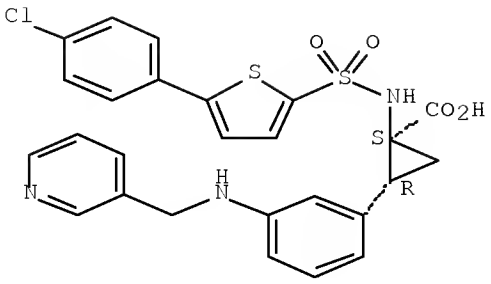
Relative stereochemistry.



● HCl

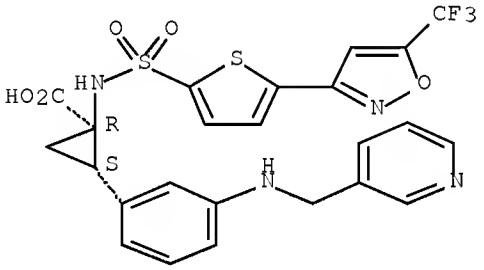
RN 856449-43-9 CAPLUS
CN Cyclopropanecarboxylic acid, 1-[[[5-(4-chlorophenyl)-2-thienyl]sulfonyl]amino]-2-[3-[(3-pyridinylmethyl)amino]phenyl]-, (1S,2R)- (CA INDEX NAME)

Absolute stereochemistry.



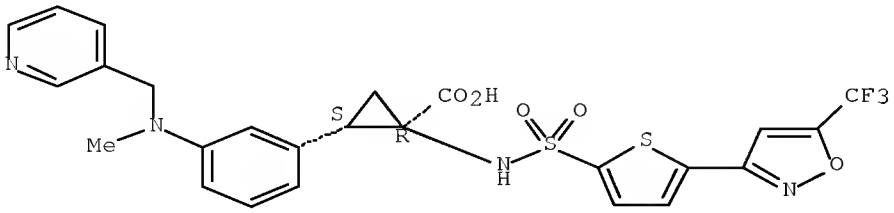
RN 856449-48-4 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[3-[(3-pyridinylmethyl)amino]phenyl]-1-[[[5-[5-(trifluoromethyl)-3-isoxazolyl]-2-thienyl]sulfonyl]amino]-, (1R,2S)-rel- (CA INDEX NAME)

Relative stereochemistry.



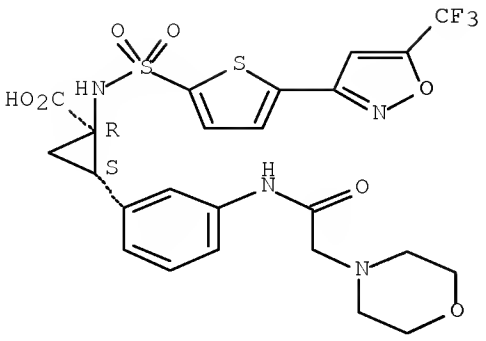
RN 856449-49-5 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[3-[methyl(3-pyridinylmethyl)amino]phenyl]-1-[[[5-[5-(trifluoromethyl)-3-isoxazolyl]-2-thienyl]sulfonyl]amino]-, (1R,2S)-rel- (CA INDEX NAME)

Relative stereochemistry.



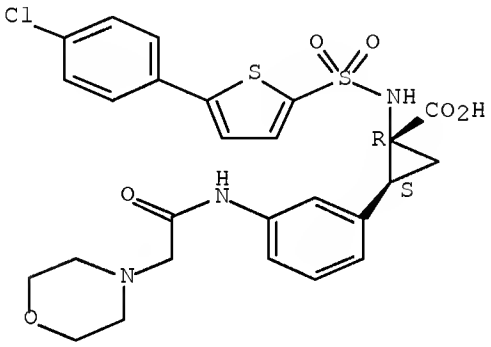
RN 856449-63-3 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[3-[[2-(4-morpholinyl)acetyl]amino]phenyl]-1-[[[5-[5-(trifluoromethyl)-3-isoxazolyl]-2-thienyl]sulfonyl]amino]-, (1R,2S)-rel- (CA INDEX NAME)

Relative stereochemistry.



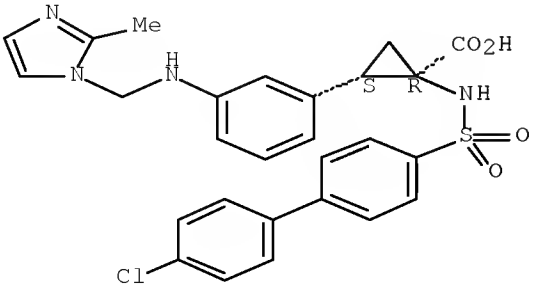
RN 856449-64-4 CAPLUS
CN Cyclopropanecarboxylic acid, 1-[[[5-(4-chlorophenyl)-2-thienyl]sulfonyl]amino]-2-[3-[[2-(4-morpholinyl)acetyl]amino]phenyl]-, (1R,2S)-rel- (CA INDEX NAME)

Relative stereochemistry.



RN 856451-69-9 CAPLUS
CN Cyclopropanecarboxylic acid, 1-[[(4'-chloro[1,1'-biphenyl]-4-yl)sulfonyl]amino]-2-[3-[[(2-methyl-1H-imidazol-1-yl)methyl]amino]phenyl]-, (1R,2S)-rel- (CA INDEX NAME)

Relative stereochemistry.



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L4 ANSWER 10 OF 17 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2005:564633 CAPLUS Full-text
DOCUMENT NUMBER: 143:97110
TITLE: Preparation of cyclopropane amine derivatives as aggrecanase and MMP inhibitors
INVENTOR(S): Fryer, Andrew M.; Shiozaki, Makoto; Littmann, Nicole M.; Inaba, Takashi; Andrews, Steven W.; Yasue, Katsutaka; Laird, Ellen R.; Yokota, Masahiro; Haas, Julia; Imai, Hiroto; Maeda, Katsuya; Shinozaki, Yuichi; Hori, Yoshikazu
PATENT ASSIGNEE(S): Japan Tobacco Inc., Japan
SOURCE: PCT Int. Appl., 197 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2005058808	A1	20050630	WO 2004-US41851		20041214
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
AU 2004299454	A1	20050630	AU 2004-299454		20041214
CA 2549598	A1	20050630	CA 2004-2549598		20041214
EP 1694638	A1	20060830	EP 2004-814079		20041214
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU					
CN 1894206	A	20070110	CN 2004-80037396		20041214
JP 2007516981	T	20070628	JP 2006-545807		20041214
ZA 2006005247	A	20071031	ZA 2006-5247		20041214
US 20050222146	A1	20051006	US 2004-11781		20041215
IN 2006KN01460	A	20070504	IN 2006-KN1460		20060530
KR 2006109937	A	20061023	KR 2006-711851		20060615
US 20080242656	A1	20081002	US 2007-765136		20070619
PRIORITY APPLN. INFO.:			US 2003-529117P	P	20031215
			WO 2004-US41851	W	20041214
			US 2004-11781	B1	20041215

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 143:97110; MARPAT 143:97110
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

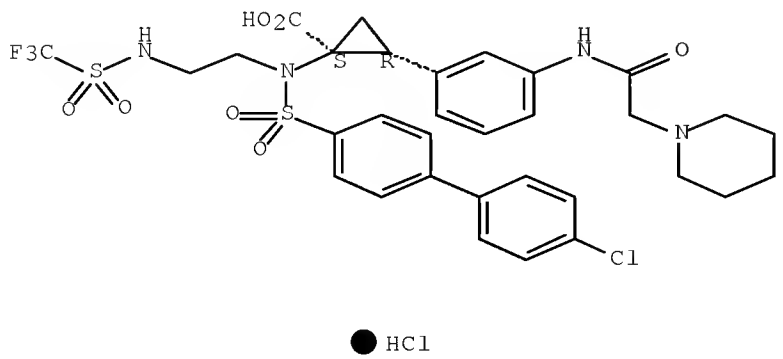
AB Title compds. I [R1 = -W-A-W1-A1; W = -(CH2)m-X-(CH2)n-; W1 = -(CH2)p-X1-(CH2)q-; m = 0-6; n = 0-6; p = 0-6; q = 0-6; X and X1 independently = linker such as single bond, alkylene group, alkenylene group, etc.; A = (un)substituted hydrocarbon ring or heterocycle; A1 = substituted hydrocarbon ring or heterocycle or A and A1 together may form (un)substituted hydrocarbon ring; R2 = -(CH2)p-X2-(CH2)q-A2, -(CH2)x-X2-(CH2)y-R8; X2 = linker such as -O-, -CO-, -COO-, etc.; A2 = (un)substituted hydrocarbon ring or heterocycle; x = 0-6; y = 0-6; R8 = H, halo, OH, etc.; R3 and R4 independently = -(CH2)x-X3-(CH2)y-A3, -(CH2)x-X4-(CH2)y-R9; X3 = linker such as -OCO-, alkynylene group, single bond, etc.; A3 = (un)substituted hydrocarbon ring or heterocycle; R9 = NO2, CN, NH2, etc.; X4 = linker such as single bond, alkylene group, alkenylene group, etc.; R5 = SH, -CH2SH, -CH2OH, etc.; R6 and R7 independently = -(CH2)x-X5-(CH2)y-A4; -(CH2)x-X6-(CH2)y-R10; X5 = linker such as alkylene group, -O-, -CO-, etc.; A4 = (un)substituted hydrocarbon ring or heterocycle; X6 = linker such as -OCO-, -COO-, single bond, etc.; R10 = NO2, CN, NH2, etc.] and their pharmaceutically acceptable salts, are prepared and disclosed as inhibitors of aggrecanase and MMP. Thus, e.g., II was prepared by deprotection of com. available (1R,2S)-1-tert-butoxycarbonylamino-2-phenylcyclopropanecarboxylic acid and subsequent coupling with 4-chlorobiphenylsulfonic acid chloride followed by esterification/alkylation/hydrolysis sequence. The activity of I to inhibit aggrecanase and MMP was evaluated using particle assay and fluorescence assay, resp., and it was revealed that compds. of the invention displayed IC50 values in the range of less than 0.1 μM up to not less than 10 μM in both assays. I as inhibitor of aggrecanase and MMP should prove useful in the treatment of osteoarthritis and rheumatoid arthritis. Pharmaceutical compns. comprising I are disclosed.

IT 856431-36-2P 856431-38-4P 856431-40-8P
856431-48-6P 856432-17-2P 856432-18-3P
856432-20-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of cyclopropane amine derivs. as aggrecanase and MMP inhibitors)

RN 856431-36-2 CAPLUS

CN Cyclopropanecarboxylic acid, 1-[[(4'-chloro[1,1'-biphenyl]-4-yl)sulfonyl][2-[[(trifluoromethyl)sulfonyl]amino]ethyl]amino]-2-[3-[[2-(1-piperidinyl)acetyl]amino]phenyl]-, hydrochloride (1:1), (1R,2S)-rel- (CA INDEX NAME)

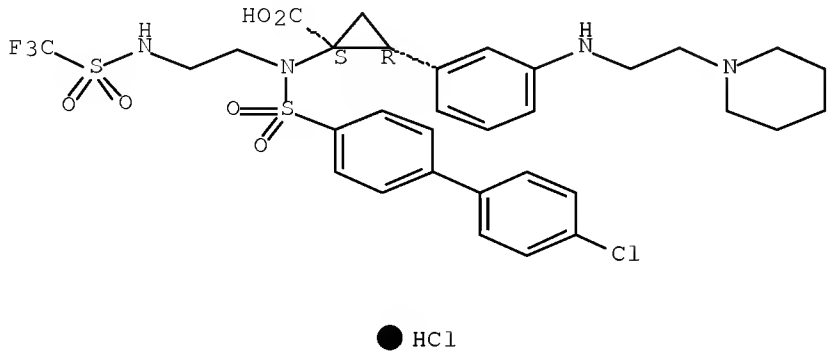
Relative stereochemistry.



● HCl

RN 856431-38-4 CAPLUS
CN Cyclopropanecarboxylic acid, 1-[[(4'-chloro[1,1'-biphenyl]-4-yl)sulfonyl][2-[[(trifluoromethyl)sulfonyl]amino]ethyl]amino]-2-[3-[[2-(1-piperidinyl)ethyl]amino]phenyl]-, hydrochloride (1:1), (1R,2S)-rel- (CA INDEX NAME)

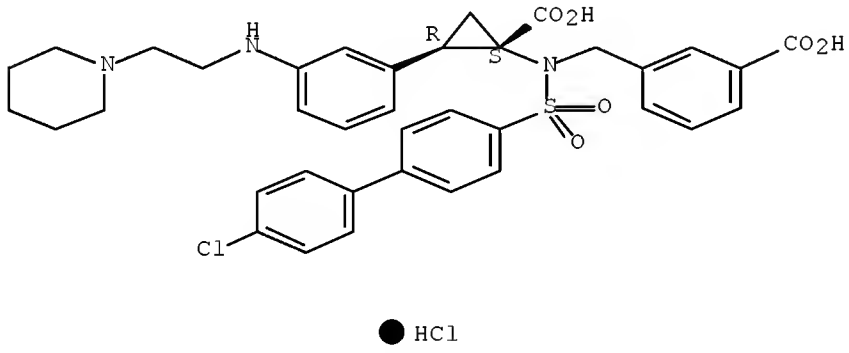
Relative stereochemistry.



● HCl

RN 856431-40-8 CAPLUS
CN Benzoic acid, 3-[[[(1R,2S)-1-carboxy-2-[3-[[2-(1-piperidinyl)ethyl]amino]phenyl]cyclopropyl][(4'-chloro[1,1'-biphenyl]-4-yl)sulfonyl]amino]methyl]-, hydrochloride (1:1), rel- (CA INDEX NAME)

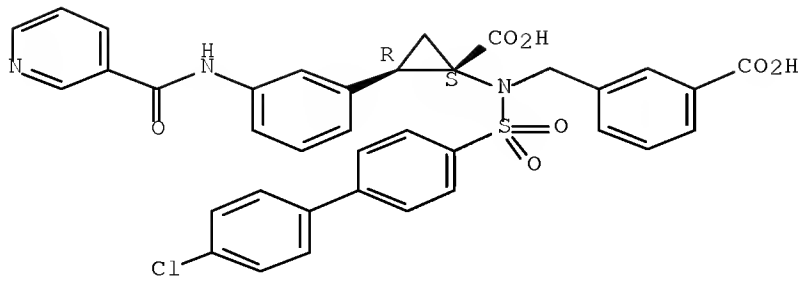
Relative stereochemistry.



● HCl

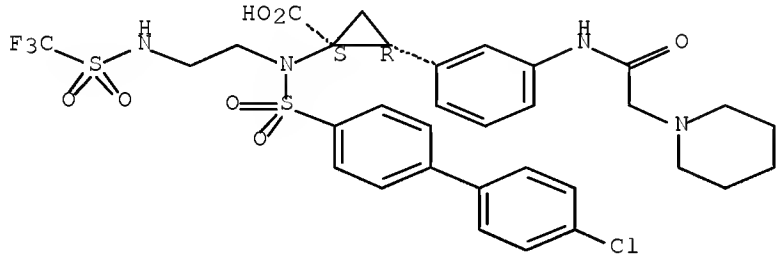
RN 856431-48-6 CAPLUS
CN Benzoic acid, 3-[[[(1R,2S)-1-carboxy-2-[3-[(3-pyridinylcarbonyl)amino]phenyl]cyclopropyl][(4'-chloro[1,1'-biphenyl]-4-yl)sulfonyl]amino]methyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



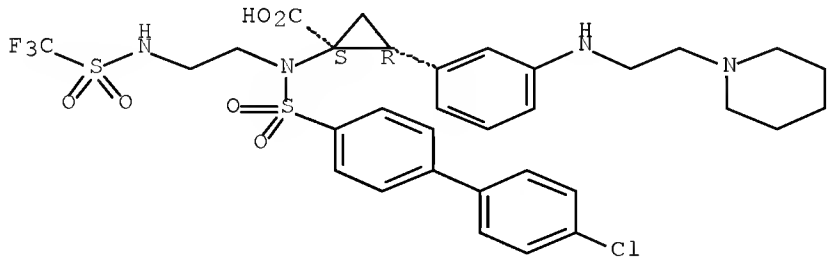
RN 856432-17-2 CAPLUS
CN Cyclopropanecarboxylic acid, 1-[[(4'-chloro[1,1'-biphenyl]-4-yl)sulfonyl][2-[[(trifluoromethyl)sulfonyl]amino]ethyl]amino]-2-[3-[[2-(1-piperidinyl)acetyl]amino]phenyl]-, (1R,2S)-rel- (CA INDEX NAME)

Relative stereochemistry.



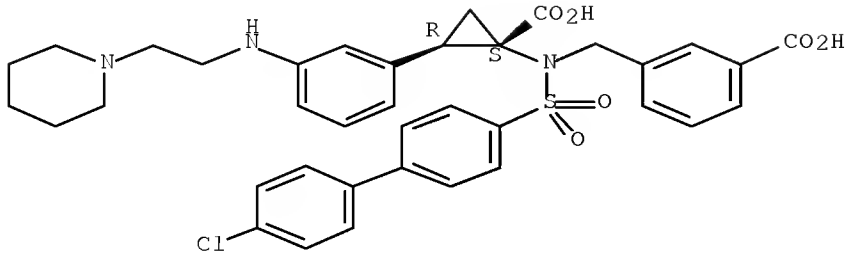
RN 856432-18-3 CAPLUS
CN Cyclopropanecarboxylic acid, 1-[[(4'-chloro[1,1'-biphenyl]-4-yl)sulfonyl][2-[[(trifluoromethyl)sulfonyl]amino]ethyl]amino]-2-[3-[[2-(1-piperidinyl)ethyl]amino]phenyl]-, (1R,2S)-rel- (CA INDEX NAME)

Relative stereochemistry.



RN 856432-20-7 CAPLUS
CN Benzoic acid, 3-[[[(1R,2S)-1-carboxy-2-[3-[[2-(1-piperidinyl)ethyl]amino]phenyl]cyclopropyl][(4'-chloro[1,1'-biphenyl]-4-yl)sulfonyl]amino]methyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

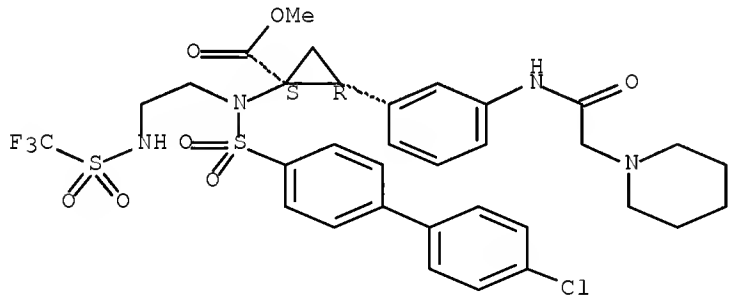


IT 1044763-30-5 1044763-36-1 1044763-82-7
1044797-26-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of cyclopropane amine derivs. as aggrecanase and MMP inhibitors)

RN 1044763-30-5 CAPLUS

CN Cyclopropanecarboxylic acid, 1-[[(4'-chloro[1,1'-biphenyl]-4-yl)sulfonyl][2-[[(trifluoromethyl)sulfonyl]amino]ethyl]amino]-2-[3-[[2-(1-piperidinyl)acetyl]amino]phenyl]-, methyl ester, (1R,2S)-rel- (CA INDEX NAME)

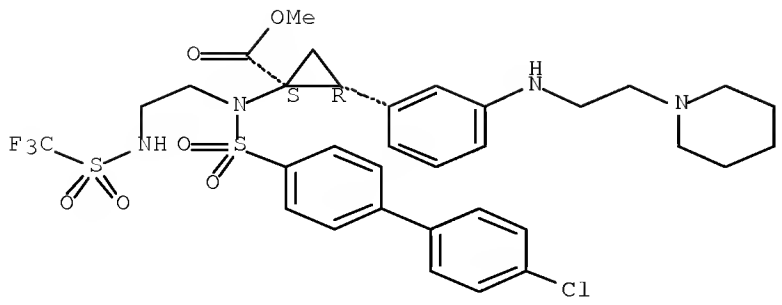
Relative stereochemistry.



RN 1044763-36-1 CAPLUS

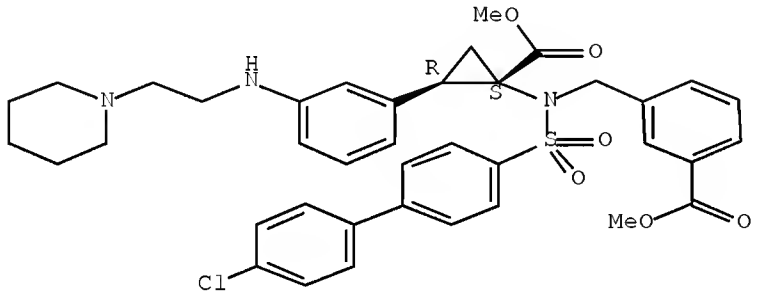
CN Cyclopropanecarboxylic acid, 1-[[(4'-chloro[1,1'-biphenyl]-4-yl)sulfonyl][2-[[(trifluoromethyl)sulfonyl]amino]ethyl]amino]-2-[3-[[2-(1-piperidinyl)ethyl]amino]phenyl]-, methyl ester, (1R,2S)-rel- (CA INDEX NAME)

Relative stereochemistry.



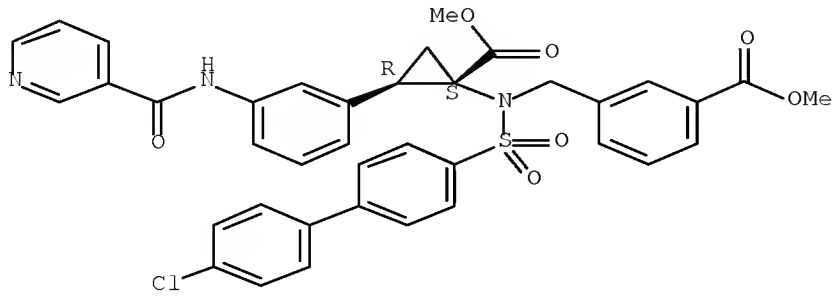
RN 1044763-82-7 CAPLUS
CN Benzoic acid, 3-[[[(4'-chloro[1,1'-biphenyl]-4-yl)sulfonyl][(1R,2S)-1-(methoxycarbonyl)-2-[3-[[2-(1-piperidinyl)ethyl]amino]phenyl]cyclopropyl]amino]methyl]-, methyl ester, rel- (CA INDEX NAME)

Relative stereochemistry.



RN 1044797-26-3 CAPLUS
CN Benzoic acid, 3-[[[(4'-chloro[1,1'-biphenyl]-4-yl)sulfonyl][(1R,2S)-1-(methoxycarbonyl)-2-[3-[(3-pyridinylcarbonyl)amino]phenyl]cyclopropyl]amino]methyl]-, methyl ester, rel- (CA INDEX NAME)

Relative stereochemistry.

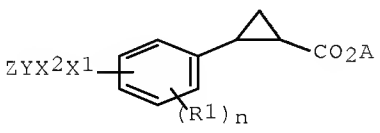


OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 17 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2005:493575 CAPLUS Full-text
DOCUMENT NUMBER: 143:43685
TITLE: Preparation of aminophenylcyclopropylcarboxylates as G protein coupled receptor 40 (GPR40) agonists.
INVENTOR(S): Corbett, David Francis; Dwornik, Kate Anna; Garrido, Dulce Maria; McKeown, Stephen Carl; Mills, Wendy Yoon; Peat, Andrew James; Smalley, Terrence Lee, Jr.
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 88 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2005051890	A1	20050609	WO 2004-US38126		20041115
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
US 20090105257	A1	20090423	US 2008-595892		20081029
PRIORITY APPLN. INFO.:			US 2003-523532P	P	20031119
			WO 2004-US38126	W	20041115

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 143:43685; MARPAT 143:43685
GI



I

AB Title compds. [I; n = 0-4; R1 = alkyl, alkoxy, halo, haloalkyl, NO2, cyano, NR7R8; R5, R7, R8 = H, alkyl; A = OH, NR2R3; R2, R3 = H, (Q1)qR4; q = 0-2; Q1 = alkylene; R4 = alkyl, haloalkyl, aryl, heteroaryl, cycloalkyl, heterocyclyl, OH, alkoxy, aryloxy; X1 = NH; X2 = C(R5)2; Y = aryl, heteroaryl; Z = (Q2)mR6; m = 0, 1; Q2 = NR5, O, S, O(CH2)p, CH2; p = 1-3; R6 = aryl, heteroaryl], were prepared Thus, trans-2-(4-aminophenyl)cyclopropanecarboxylic acid (preparation given) was refluxed with 3-phenoxybenzaldehyde in dichloroethane.

The mixture was cooled to room temperature and treated with NaB(OAc)3H followed by stirring for 1 h to give 16% trans-2-[4-[[3-(phenoxy)phenyl]methyl]amino]cyclopropanecarboxylic acid trifluoroacetate. The latter showed pEC50 = 7.9 in a GPR40 SAR primary assay.

IT 853403-42-6P

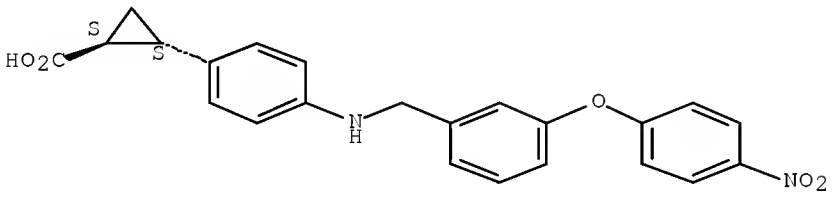
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(claimed compound; preparation of aminophenylcyclopropylcarboxylates as GPR40 agonists)

RN 853403-42-6 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[4-[[[3-(4-nitrophenoxy)phenyl]methyl]amino]phenyl]-, (1R,2R)-rel- (CA INDEX NAME)

Relative stereochemistry.



IT 853403-21-1P 853403-22-2P 853403-23-3P
853403-24-4P 853403-25-5P 853403-26-6P
853403-27-7P 853403-28-8P 853403-29-9P
853403-30-2P 853403-31-3P 853403-32-4P
853403-33-5P 853403-34-6P 853403-35-7P
853403-36-8P 853403-37-9P 853403-38-0P
853403-39-1P 853403-40-4P 853403-41-5P
853403-43-7P 853403-44-8P 853403-45-9P
853403-46-0P 853403-47-1P 853403-48-2P
853403-49-3P 853403-50-6P 853403-51-7P
853403-52-8P 853403-53-9P 853403-54-0P
853403-55-1P 853403-56-2P 853403-57-3P

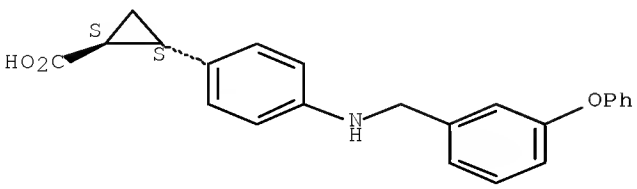
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(claimed compound; preparation of aminophenylcyclopropylcarboxylates as GPR40 agonists)

RN 853403-21-1 CAPLUS

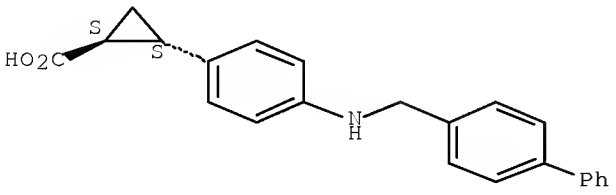
CN Cyclopropanecarboxylic acid, 2-[4-[[(3-phenoxyphenyl)methyl]amino]phenyl]-, (1R,2R)-rel- (CA INDEX NAME)

Relative stereochemistry.



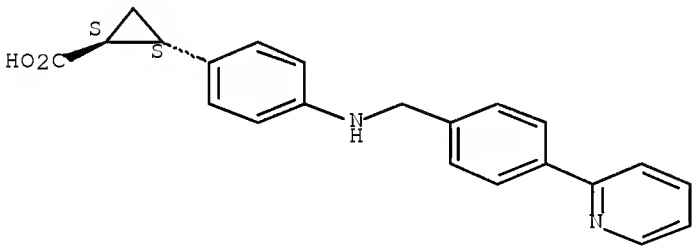
RN 853403-22-2 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[([1,1'-biphenyl]-4-ylmethyl)amino]phenyl]-, (1R,2R)-rel- (CA INDEX NAME)

Relative stereochemistry.



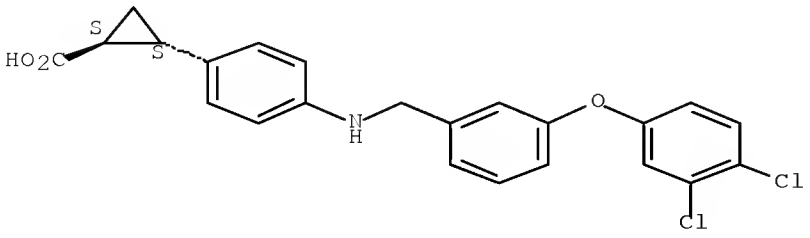
RN 853403-23-3 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[[4-(2-pyridinyl)phenyl]methyl]amino]phenyl]-, (1R,2R)-rel- (CA INDEX NAME)

Relative stereochemistry.



RN 853403-24-4 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[[3-(3,4-dichlorophenoxy)phenyl]methyl]amino]phenyl]-, (1R,2R)-rel- (CA INDEX NAME)

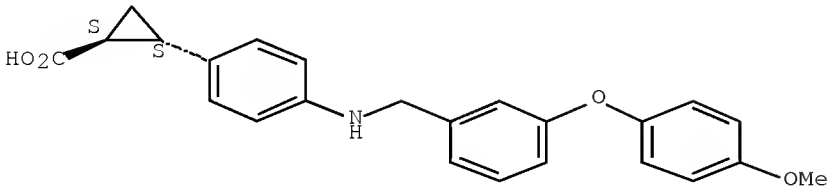
Relative stereochemistry.



RN 853403-25-5 CAPLUS

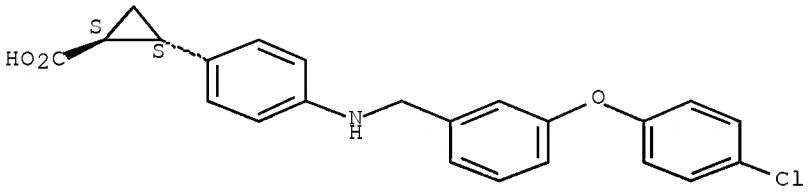
CN Cyclopropanecarboxylic acid, 2-[4-[[[3-(4-methoxyphenoxy)phenyl]methyl]amino]phenyl]-, (1R,2R)-rel- (CA INDEX NAME)

Relative stereochemistry.



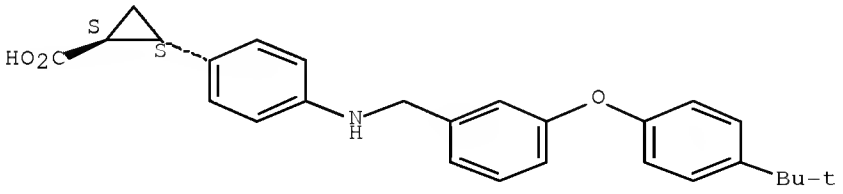
RN 853403-26-6 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[[3-(4-chlorophenoxy)phenyl]methyl]amino]phenyl]-, (1R,2R)-rel- (CA INDEX NAME)

Relative stereochemistry.



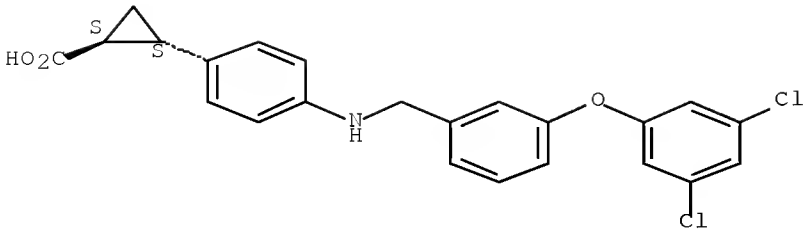
RN 853403-27-7 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[[3-[4-(1,1-dimethylethyl)phenoxy]phenyl]methyl]amino]phenyl]-, (1R,2R)-rel- (CA INDEX NAME)

Relative stereochemistry.



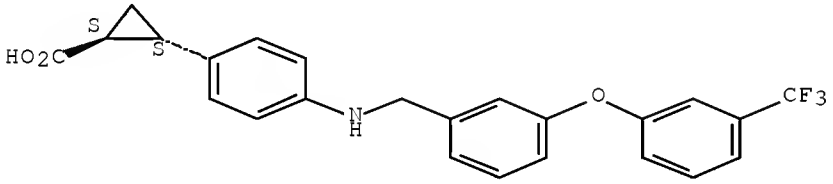
RN 853403-28-8 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[[3-(3,5-dichlorophenoxy)phenyl]methyl]amino]phenyl]-, (1R,2R)-rel- (CA INDEX NAME)

Relative stereochemistry.



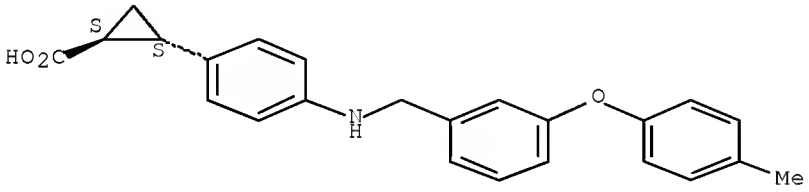
RN 853403-29-9 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[[3-[3-(trifluoromethyl)phenoxy]phenyl]methyl]amino]phenyl]-, (1R,2R)-rel- (CA INDEX NAME)

Relative stereochemistry.



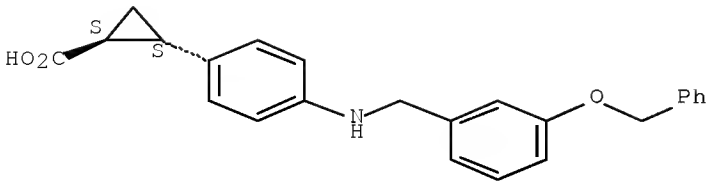
RN 853403-30-2 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[[3-(4-methylphenoxy)phenyl]methyl]amino]phenyl]-, (1R,2R)-rel- (CA INDEX NAME)

Relative stereochemistry.



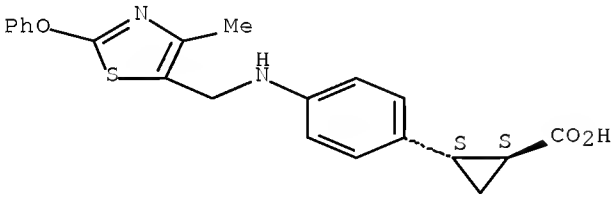
RN 853403-31-3 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[[3-(phenylmethoxy)phenyl]methyl]amino]phenyl]-, (1R,2R)-rel- (CA INDEX NAME)

Relative stereochemistry.



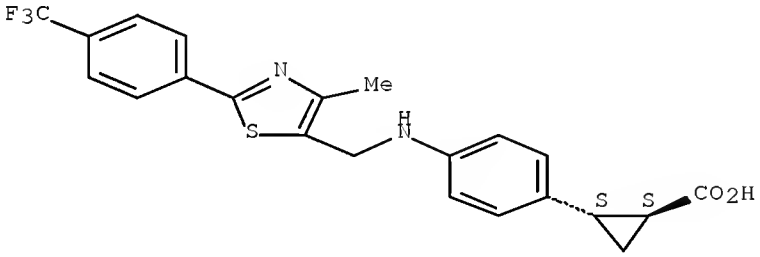
RN 853403-32-4 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[(4-methyl-2-phenoxy-5-thiazolyl)methyl]amino]phenyl]-, (1R,2R)-rel- (CA INDEX NAME)

Relative stereochemistry.



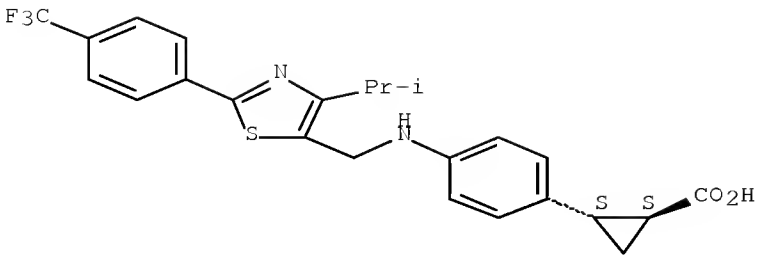
RN 853403-33-5 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]methyl]amino]phenyl]-, (1R,2R)-rel- (CA INDEX NAME)

Relative stereochemistry.



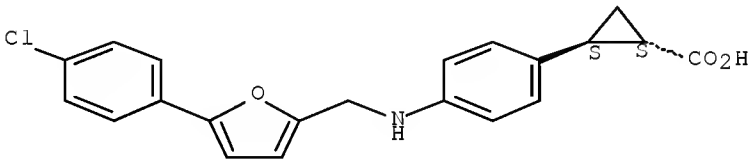
RN 853403-34-6 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[[4-(1-methylethyl)-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]methyl]amino]phenyl]-, (1R,2R)-rel- (CA INDEX NAME)

Relative stereochemistry.



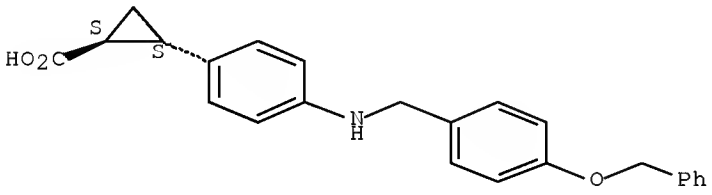
RN 853403-35-7 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[[5-(4-chlorophenyl)-2-furanyl]methyl]amino]phenyl]-, (1R,2R)-rel- (CA INDEX NAME)

Relative stereochemistry.



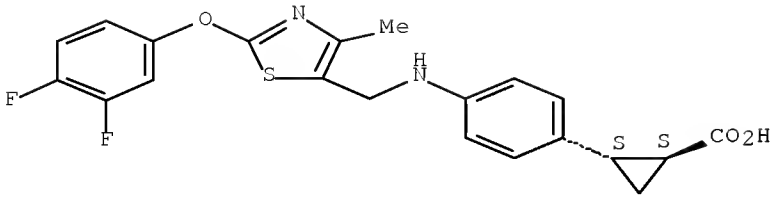
RN 853403-36-8 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[[4-(phenylmethoxy)phenyl]methyl]amino]phenyl]-, (1R,2R)-rel- (CA INDEX NAME)

Relative stereochemistry.



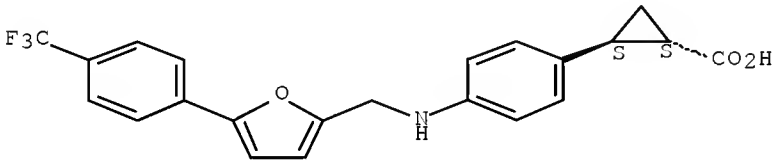
RN 853403-37-9 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[[2-(3,4-difluorophenoxy)-4-methyl-5-thiazolyl]methyl]amino]phenyl]-, (1R,2R)-rel- (CA INDEX NAME)

Relative stereochemistry.



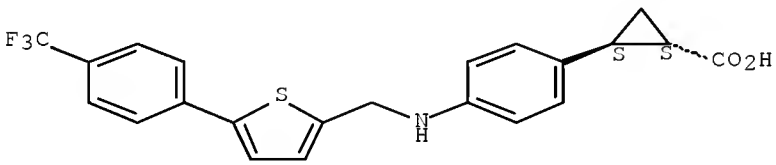
RN 853403-38-0 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[[5-[4-(trifluoromethyl)phenyl]-2-furanyl]methyl]amino]phenyl]-, (1R,2R)-rel- (CA INDEX NAME)

Relative stereochemistry.



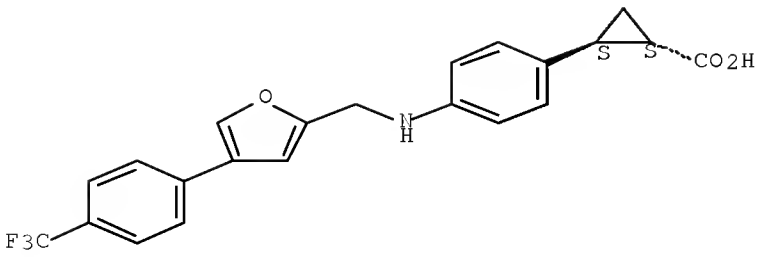
RN 853403-39-1 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[[5-[4-(trifluoromethyl)phenyl]-2-thienyl]methyl]amino]phenyl]-, (1R,2R)-rel- (CA INDEX NAME)

Relative stereochemistry.



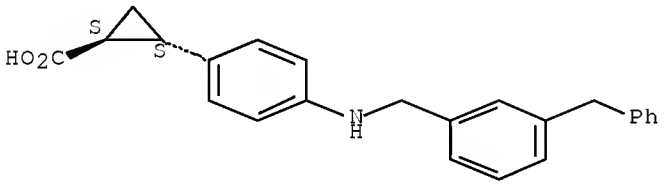
RN 853403-40-4 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[[4-[4-(trifluoromethyl)phenyl]-2-furanyl]methyl]amino]phenyl]-, (1R,2R)-rel- (CA INDEX NAME)

Relative stereochemistry.



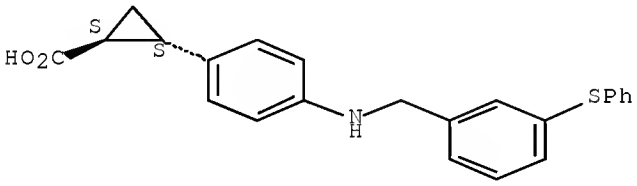
RN 853403-41-5 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[[3-(phenylmethyl)phenyl]methyl]amino]phenyl]-, (1R,2R)-rel- (CA INDEX NAME)

Relative stereochemistry.



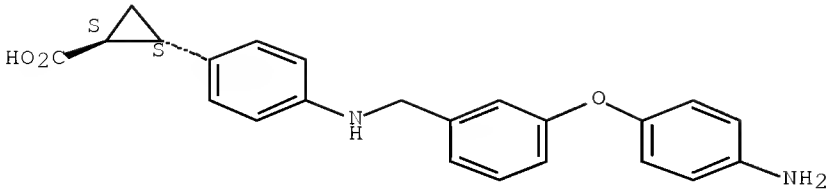
RN 853403-43-7 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[[3-(phenylthio)phenyl]methyl]amino]phenyl]-, (1R,2R)-rel- (CA INDEX NAME)

Relative stereochemistry.



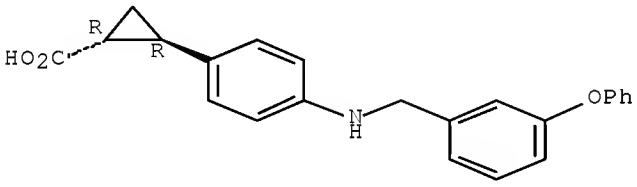
RN 853403-44-8 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[[3-(4-aminophenoxy)phenyl]methyl]amino]phenyl]-, (1R,2R)-rel- (CA INDEX NAME)

Relative stereochemistry.



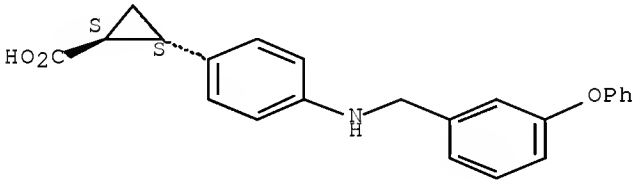
RN 853403-45-9 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[(3-phenoxyphenyl)methyl]amino]phenyl]-, (1R,2R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



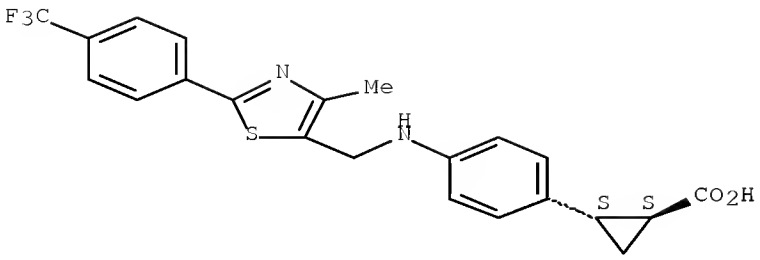
RN 853403-46-0 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[(3-phenoxyphenyl)methyl]amino]phenyl]-, (1S,2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



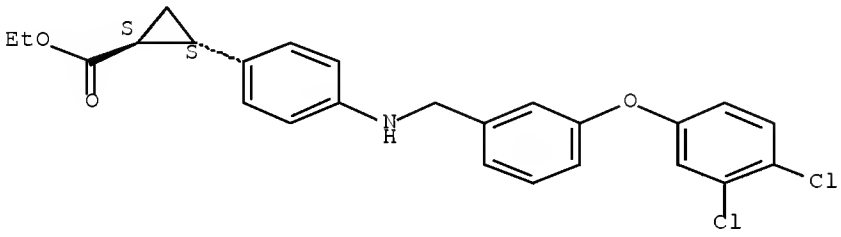
RN 853403-47-1 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]methyl]amino]phenyl]-, (1S,2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



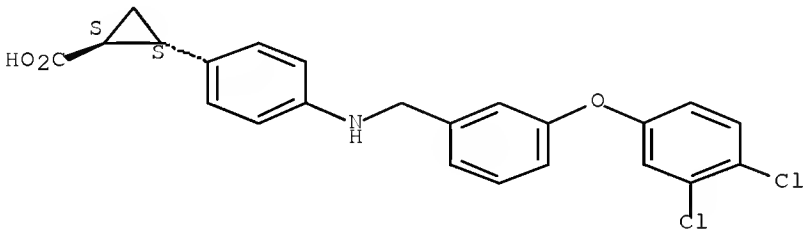
RN 853403-48-2 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[[3-(3,4-dichlorophenoxy)phenyl]methyl]amino]phenyl]-, ethyl ester, (1S,2S)- (CA INDEX NAME)

Absolute stereochemistry.



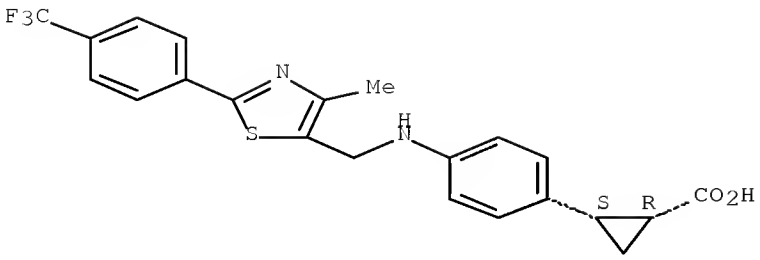
RN 853403-49-3 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[[3-(3,4-dichlorophenoxy)phenyl]methyl]amino]phenyl]-, (1S,2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



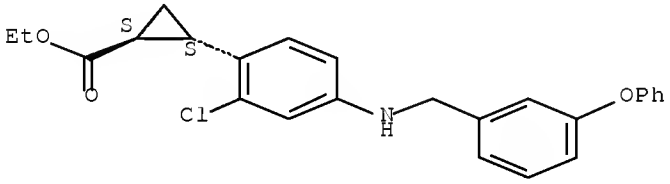
RN 853403-50-6 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]methyl]amino]phenyl]-, (1R,2S)-rel-(-)- (CA INDEX NAME)

Rotation (-). Absolute stereochemistry unknown.



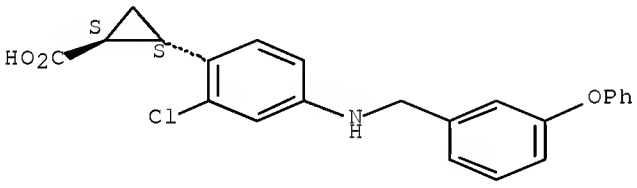
RN 853403-51-7 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[2-chloro-4-[[(3-phenoxyphenyl)methyl]amino]phenyl]-, ethyl ester, (1R,2R)-rel- (CA INDEX NAME)

Relative stereochemistry.



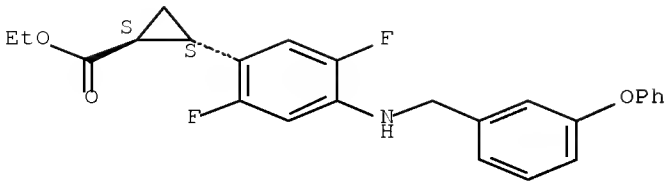
RN 853403-52-8 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[2-chloro-4-[[(3-phenoxyphenyl)methyl]amino]phenyl]-, (1R,2R)-rel-(+)- (CA INDEX NAME)

Rotation (+). Absolute stereochemistry unknown.



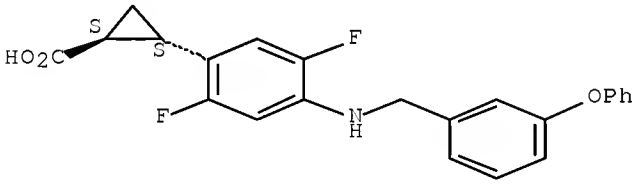
RN 853403-53-9 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[2,5-difluoro-4-[[(3-phenoxyphenyl)methyl]amino]phenyl]-, ethyl ester, (1R,2R)-rel- (CA INDEX NAME)

Relative stereochemistry.



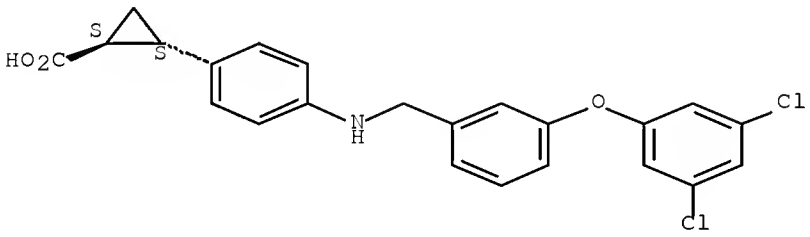
RN 853403-54-0 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[2,5-difluoro-4-[[(3-phenoxyphenyl)methyl]amino]phenyl]-, (1R,2R)-rel-(+)- (CA INDEX NAME)

Rotation (+). Absolute stereochemistry unknown.



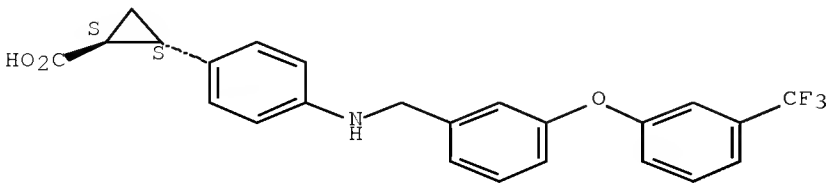
RN 853403-55-1 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[[3-(3,5-dichlorophenoxy)phenyl]methyl]amino]phenyl]-, (1S,2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



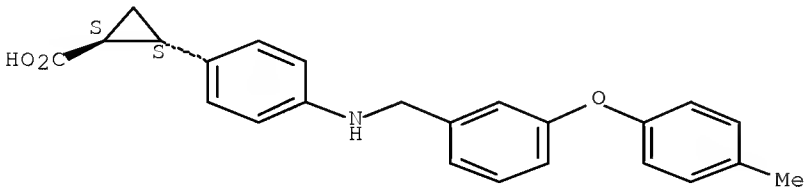
RN 853403-56-2 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[[3-[3-(trifluoromethyl)phenoxy]phenyl]methyl]amino]phenyl]-, (1S,2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 853403-57-3 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[[3-(4-methylphenoxy)phenyl]methyl]amino]phenyl]-, (1S,2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

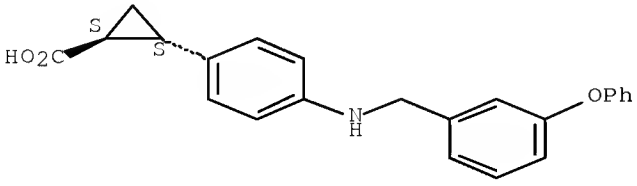


IT 853403-77-7P 853403-78-8P 853403-79-9P
853403-80-2P 853403-81-3P 853403-82-4P
853403-83-5P 853403-84-6P 853403-85-7P
853403-86-8P 853403-87-9P 853403-88-0P
853403-89-1P 853403-90-4P 853403-91-5P
853403-92-6P 853403-93-7P 853403-94-8P
853403-95-9P 853403-96-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminophenylcyclopropylcarboxylates as GPR40 agonists)
RN 853403-77-7 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[(3-phenoxyphenyl)methyl]amino]phenyl]-, (1R,2R)-rel-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

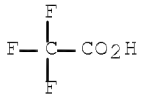
CRN 853403-21-1
CMF C23 H21 N O3

Relative stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

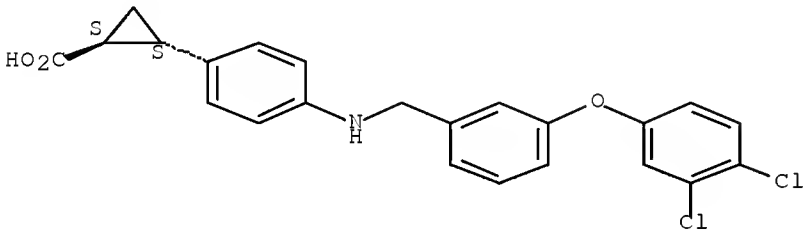


RN 853403-78-8 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[[3-(3,4-dichlorophenoxy)phenyl]methyl]amino]phenyl]-, (1R,2R)-rel-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

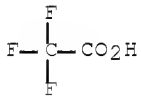
CRN 853403-24-4
CMF C23 H19 Cl2 N O3

Relative stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

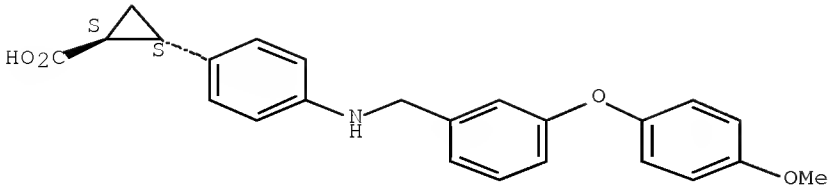


RN 853403-79-9 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[[3-(4-methoxyphenoxy)phenyl]methyl]amino]phenyl]-, (1R,2R)-rel-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

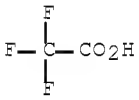
CRN 853403-25-5
CMF C24 H23 N O4

Relative stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

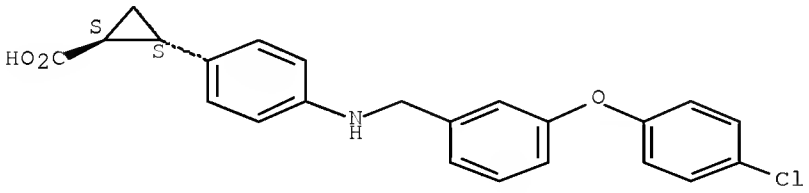


RN 853403-80-2 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[[3-(4-chlorophenoxy)phenyl]methyl]amino]phenyl]-, (1R,2R)-rel-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

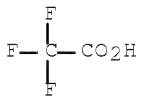
CRN 853403-26-6
CMF C23 H20 Cl N O3

Relative stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

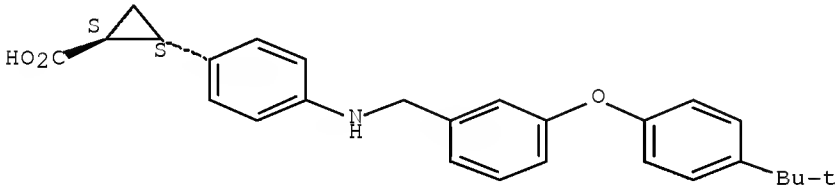


RN 853403-81-3 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[[3-[4-(1,1-dimethylethyl)phenoxy]phenyl]methyl]amino]phenyl]-, (1R,2R)-rel-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

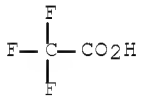
CRN 853403-27-7
CMF C27 H29 N O3

Relative stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

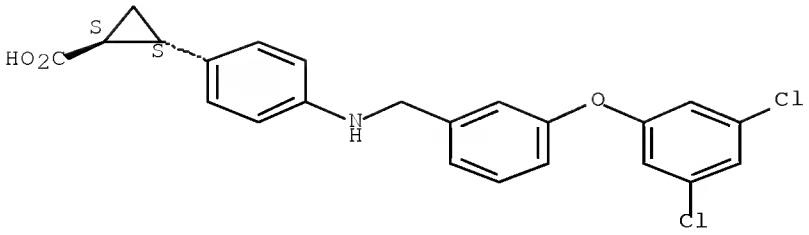


RN 853403-82-4 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[[3-(3,5-dichlorophenoxy)phenyl]methyl]amino]phenyl]-, (1R,2R)-rel-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

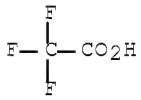
CRN 853403-28-8
CMF C23 H19 Cl2 N O3

Relative stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

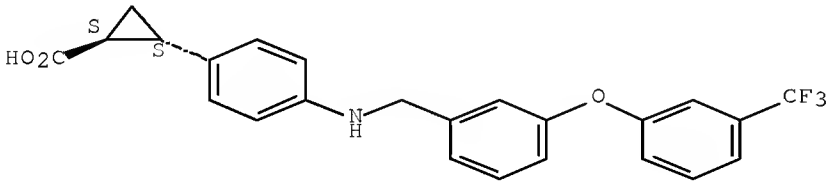


RN 853403-83-5 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[[3-[3-(trifluoromethyl)phenoxy]phenyl]methyl]amino]phenyl]-, (1R,2R)-rel-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

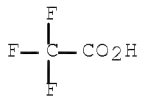
CRN 853403-29-9
CMF C24 H20 F3 N O3

Relative stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

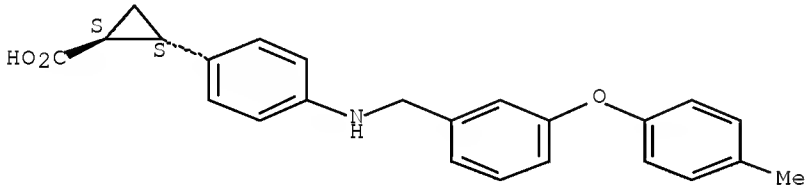


RN 853403-84-6 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[[3-(4-methylphenoxy)phenyl]methyl]amino]phenyl]-, (1R,2R)-rel-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

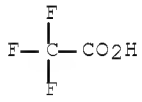
CRN 853403-30-2
CMF C24 H23 N O3

Relative stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

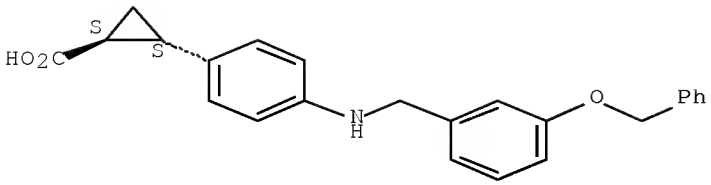


RN 853403-85-7 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[[3-(phenylmethoxy)phenyl]methyl]amino]phenyl]-, (1R,2R)-rel-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

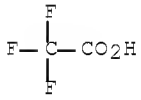
CRN 853403-31-3
CMF C24 H23 N O3

Relative stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

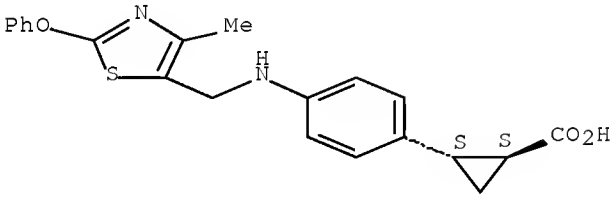


RN 853403-86-8 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[(4-methyl-2-phenoxy-5-thiazolyl)methyl]amino]phenyl]-, (1R,2R)-rel-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

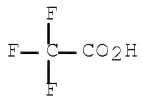
CRN 853403-32-4
CMF C21 H20 N2 O3 S

Relative stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

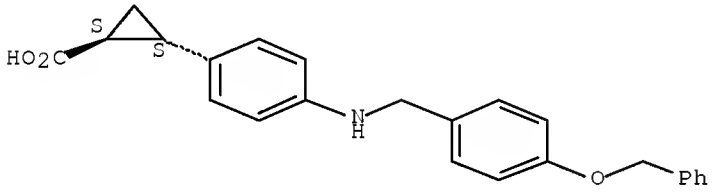


RN 853403-87-9 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[[4-(phenylmethoxy)phenyl]methyl]amino]phenyl]-, (1R,2R)-rel-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

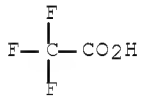
CRN 853403-36-8
CMF C24 H23 N O3

Relative stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

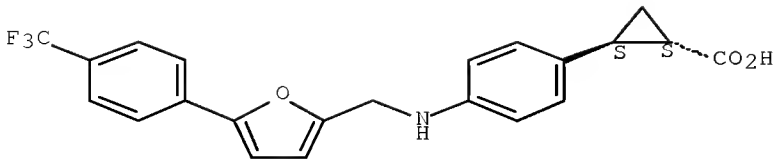


RN 853403-88-0 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[[5-[4-(trifluoromethyl)phenyl]-2-furanyl]methyl]amino]phenyl]-, (1R,2R)-rel-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

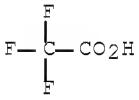
CRN 853403-38-0
CMF C22 H18 F3 N O3

Relative stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

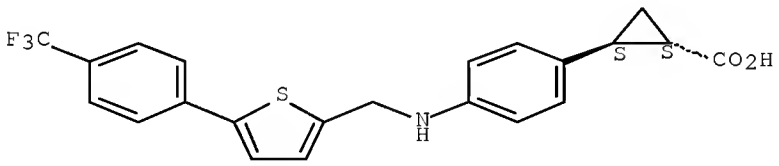


RN 853403-89-1 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[[5-[4-(trifluoromethyl)phenyl]-2-thienyl]methyl]amino]phenyl]-, (1R,2R)-rel-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

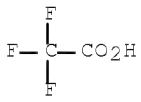
CRN 853403-39-1
CMF C22 H18 F3 N O2 S

Relative stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

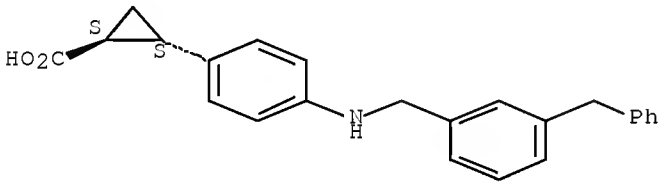


RN 853403-90-4 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[[3-(phenylmethyl)phenyl]methyl]amino]phenyl]-, (1R,2R)-rel-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

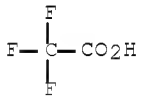
CRN 853403-41-5
CMF C24 H23 N O2

Relative stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

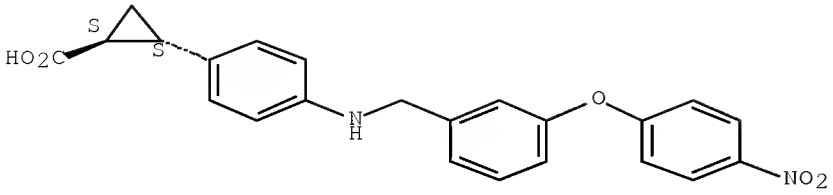


RN 853403-91-5 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[[3-(4-nitrophenoxy)phenyl]methyl]amino]phenyl]-, (1R,2R)-rel-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

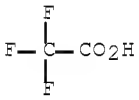
CRN 853403-42-6
CMF C23 H20 N2 O5

Relative stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

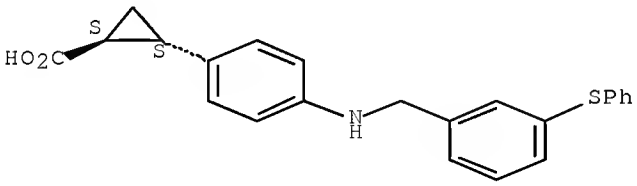


RN 853403-92-6 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[[3-(phenylthio)phenyl]methyl]amino]phenyl]-, (1R,2R)-rel-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

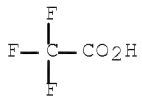
CRN 853403-43-7
CMF C23 H21 N O2 S

Relative stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

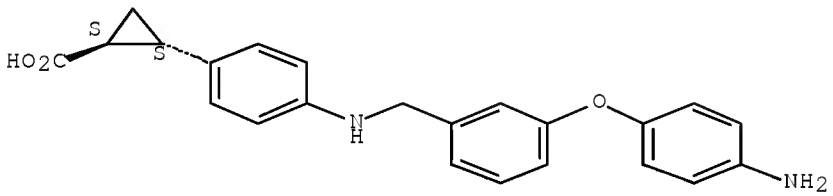


RN 853403-93-7 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[[3-(4-aminophenoxy)phenyl]methyl]amino]phenyl]-, (1R,2R)-rel-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

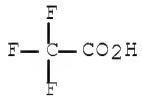
CRN 853403-44-8
CMF C23 H22 N2 O3

Relative stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

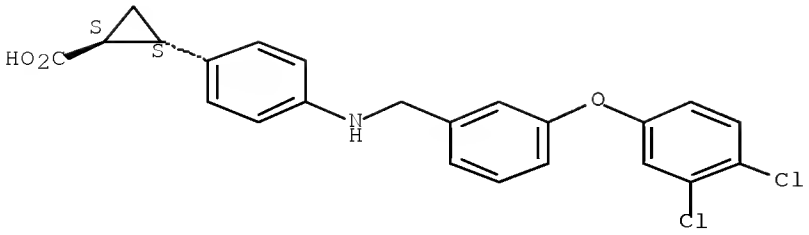


RN 853403-94-8 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[[3-(3,4-dichlorophenoxy)phenyl]methyl]amino]phenyl]-, (1S,2S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

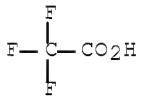
CRN 853403-49-3
CMF C23 H19 Cl2 N O3

Absolute stereochemistry. Rotation (+).



CM 2

CRN 76-05-1
CMF C2 H F3 O2

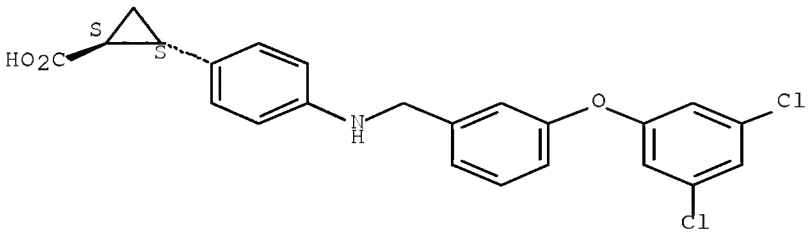


RN 853403-95-9 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[[3-(3,5-dichlorophenoxy)phenyl]methyl]amino]phenyl]-, (1S,2S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

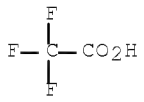
CRN 853403-55-1
CMF C23 H19 Cl2 N O3

Absolute stereochemistry. Rotation (+).



CM 2

CRN 76-05-1
CMF C2 H F3 O2

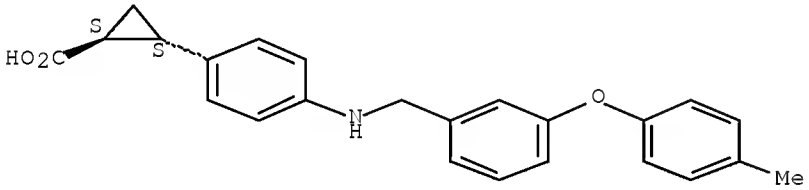


RN 853403-96-0 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[[3-(4-methylphenoxy)phenyl]methyl]amino]phenyl]-, (1S,2S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

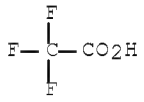
CRN 853403-57-3
CMF C24 H23 N O3

Absolute stereochemistry. Rotation (+).



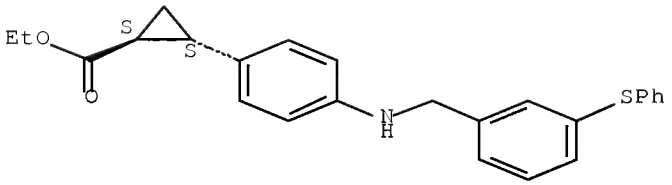
CM 2

CRN 76-05-1
CMF C2 H F3 O2



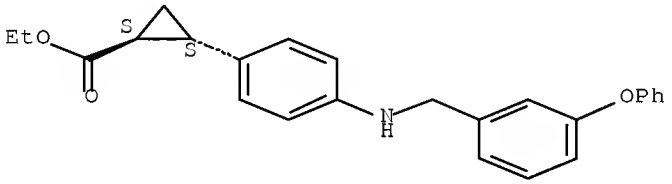
IT 853404-06-5P 853404-07-6P 853404-08-7P
853404-09-8P 853404-10-1P 853404-11-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of aminophenylcyclopropylcarboxylates as GPR40 agonists)
RN 853404-06-5 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[[3-(phenylthio)phenyl]methyl]amino]phenyl]-, ethyl ester, (1R,2R)-rel- (CA INDEX NAME)

Relative stereochemistry.



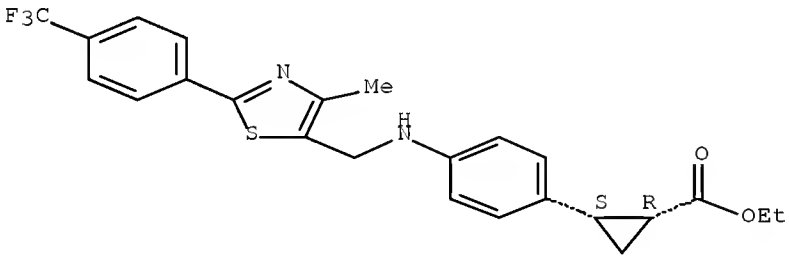
RN 853404-07-6 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[(3-phenoxyphenyl)methyl]amino]phenyl]-, ethyl ester, (1S,2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



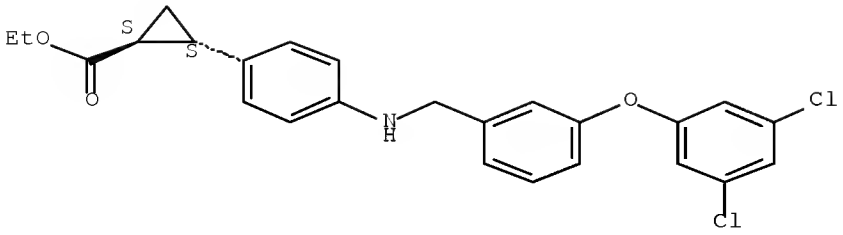
RN 853404-08-7 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]methyl]amino]phenyl]-, ethyl ester, (1R,2S)-rel- (CA INDEX NAME)

Relative stereochemistry.



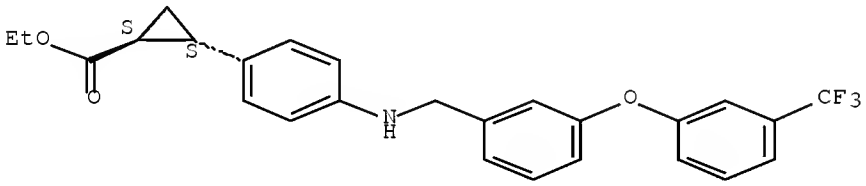
RN 853404-09-8 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[[3-(3,5-dichlorophenoxy)phenyl]methyl]amino]phenyl]-, ethyl ester, (1R,2R)-rel- (CA INDEX NAME)

Relative stereochemistry.



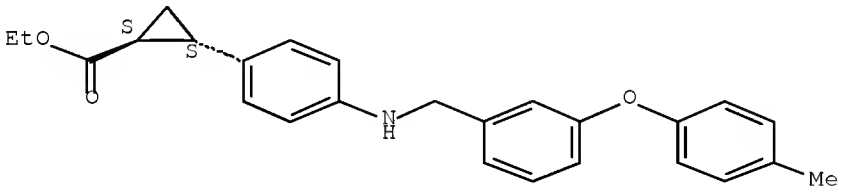
RN 853404-10-1 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[[3-[3-(trifluoromethyl)phenoxy]phenyl]methyl]amino]phenyl]-, ethyl ester, (1R,2R)-rel- (CA INDEX NAME)

Relative stereochemistry.



RN 853404-11-2 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[[3-(4-methylphenoxy)phenyl]methyl]amino]phenyl]-, ethyl ester, (1R,2R)-rel- (CA INDEX NAME)

Relative stereochemistry.



OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 17 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2004:453231 CAPLUS Full-text
DOCUMENT NUMBER: 141:23422
TITLE: Preparation of non-steroidal FXR agonists
INVENTOR(S): Nicolaou, Kyriacos C.; Roecker, Anthony J.; Hughes, Robert; Pfefferkorn, Jeffrey A.

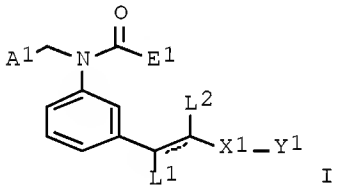
PATENT ASSIGNEE(S): The Scripps Research Institute, USA
SOURCE: PCT Int. Appl., 75 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004046162	A2	20040603	WO 2003-US36195	20031114
WO 2004046162	A3	20040812		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003290796	A1	20040615	AU 2003-290796	20031114

PRIORITY APPLN. INFO.:

US 2002-426456P	P	20021114
US 2003-491185P	P	20030729
WO 2003-US36195	W	20031114

OTHER SOURCE(S): MARPAT 141:23422
GI



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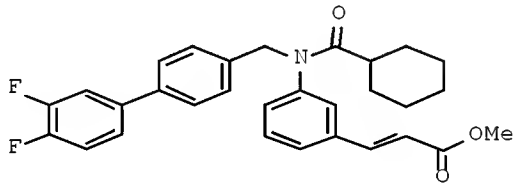


II

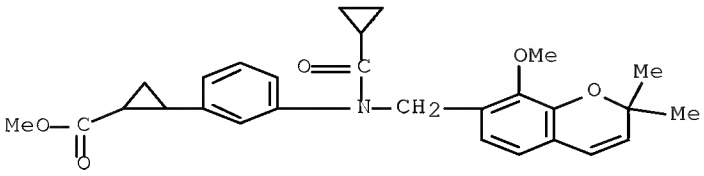
AB Non-steroidal N-aryl-N-arylmethyl amido and ureido compds. such as I [E1 = (C1-C8)alkyl, cyclohexyl, 2-furyl, 3-furyl, 2-thienyl, 3-thienyl, Ph, NH(C1-C8)alkyl; L1, L2 = H; dashed bond = single bond or double bond; X1 = CO, CH2; Y1 = H, NHZ1, NH(Z2)Z3, OZ4; A1 = aryl, heterocyclyl etc.; Z1 = H, Ph, alkyl, benzyl, benzoyl; Z2, Z3 = alkyl; Z2Z3 = cycloalkyl; Z4 = H, oxygen protecting group], were prepared for their therapeutic use as farnesoid X receptor (FXR) agonists. Thus, biaryl compound II, prepared via solid phase synthesis starting from N-(tert-butoxycarbonyl)-3-aminocinnamic acid, Merrifield Resin, 4-bromobenzaldehyde, cyclohexanoyl chloride, and 3,4-difluorobenzeneboronic acid, showed FXR activity (EC50 = 72 nM) and relative efficacy = 1.70 at 1-100 mM CDCA from a cell-based assay. The FXR agonists are useful as therapeutic agents for the treatment of diseases linked to cholesterol, bile acids, and their metabolism and homeostasis.

IT 698355-32-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)
(preparation of N-aryl-N-arylmethyl amido and ureido compds. as farnesoid X receptor agonists)

RN 698355-32-7 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[3-[(cyclopropylcarbonyl)[(8-methoxy-2,2-dimethyl-2H-1-benzopyran-7-yl)methyl]amino]phenyl]-, methyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L4 ANSWER 13 OF 17 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2004:453152 CAPLUS Full-text

DOCUMENT NUMBER: 141:17647

TITLE: N-acyl-N-arylmethylaniline acrylates as nonsteroidal farnesoid X receptor modulators

INVENTOR(S): Downes, Michael R.; Evans, Ronald M.

PATENT ASSIGNEE(S): The Salk Institute for Biological Studies, USA

SOURCE: PCT Int. Appl., 98 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

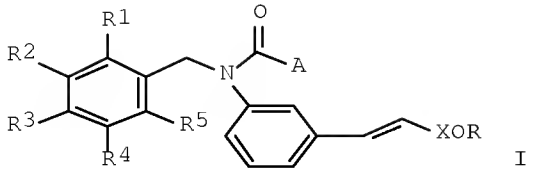
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004046068	A2	20040603	WO 2003-US36137	20031114
WO 2004046068	A3	20041229		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20050143449	A1	20050630	US 2003-658115	20030908
AU 2003294264	A1	20040615	AU 2003-294264	20031114
US 20060128764	A1	20060615	US 2005-535043	20051209

PRIORITY APPLN. INFO.:

US 2002-426664P	P	20021115
US 2003-658115	A2	20030908
WO 2003-US36137	W	20031114

OTHER SOURCE(S): MARPAT 141:17647

GI



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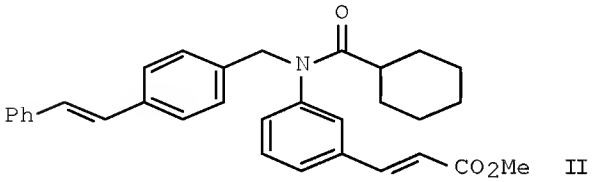


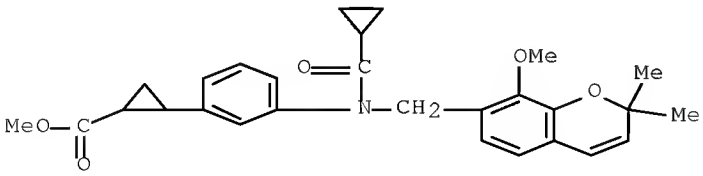
II

AB A method for modulating process(es) mediated by farnesyl X receptor polypeptides comprises conducting said process(es) in the presence of title compds. [I; A = (substituted) alkyl, cycloalkyl, aryl, heteroaryl; X = CO, CH2; R = Me, Et; R1 = H, OH, alkoxy, PhCO2, mesityloxy, OCH2CO2Et; R2 = H; R3 = alkenyl, (substituted) aryl, heteroaryl, aralkenyl, heteroaralkenyl; R2R3 = atoms to form a (substituted) (unsatd.) pyran ring; R4 = H, OH; R5 = H, OH, alkoxy, aryloxy]. In a cell-based transcription assay, title compound (II) activated FXR with EC50 = 36 nM.

IT 698355-32-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-acyl-N-arylmethylaniline acrylates as nonsteroidal farnesoid X receptor modulators)

RN 698355-32-7 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[3-[(cyclopropylcarbonyl)[(8-methoxy-2,2-dimethyl-2H-1-benzopyran-7-yl)methyl]amino]phenyl]-, methyl ester (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 14 OF 17 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2004:452954 CAPLUS Full-text
DOCUMENT NUMBER: 141:17646
TITLE: N-acyl-N-benzylaniline acrylates as nonsteroidal farnesoid X receptor (FXR) modulators
INVENTOR(S): Downes, Michael R.; Evans, Ronald Mark; Hughes,

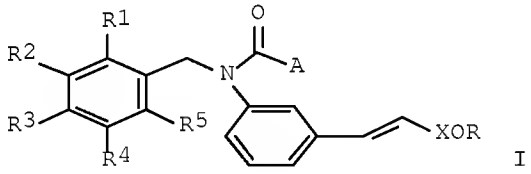
Robert; Nicolaou, Kyriacos C.; Roecker, Anthony J.
PATENT ASSIGNEE(S): The Salk Institute for Biological Studies, USA; The Scripps Research Institute
SOURCE: PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004045511	A2	20040603	WO 2003-US36123	20031114
WO 2004045511	A3	20040708		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20050143449	A1	20050630	US 2003-658115	20030908
AU 2003290778	A1	20040615	AU 2003-290778	20031114
US 20060223879	A1	20061005	US 2005-535041	20051228

PRIORITY APPLN. INFO.:

US 2002-426664P	P	20021115
US 2003-658115	A2	20030908
WO 2003-US36123	W	20031114

OTHER SOURCE(S): MARPAT 141:17646
GI



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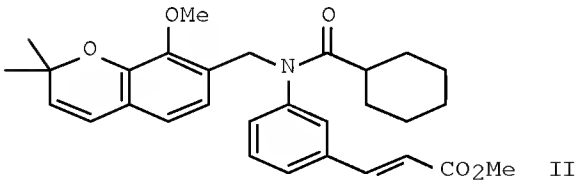
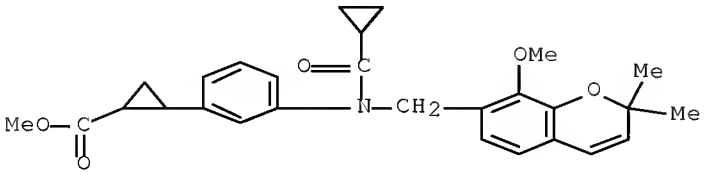


II

AB Title compds. [I; A = (substituted) alkyl, cycloalkyl, aryl, heteroaryl; X = CO, CH2; R = Me, Et; R1 = H, OH, alkoxy, PhCO2, mesityloxy, OCH2CO2Et; R2 = H; R3 = alkenyl, (substituted) aryl, heteroaryl, aralkenyl, heteroaralkenyl; R2R3 = atoms to form a substituted (unsatd.) pyran ring; R4 = H, OH; R5 = H, OH, alkoxy, aryloxy], are claimed. Thus, benzopyran derivative (II) activated FXR receptors with EC50 = 358 nM.

IT 698355-32-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of acylbenzylaniline acrylates as nonsteroidal farnesoid X receptor (FXR) modulators)
RN 698355-32-7 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[3-[(cyclopropylcarbonyl)[(8-methoxy-2,2-dimethyl-2H-1-benzopyran-7-yl)methyl]amino]phenyl]-, methyl ester (CA INDEX NAME)



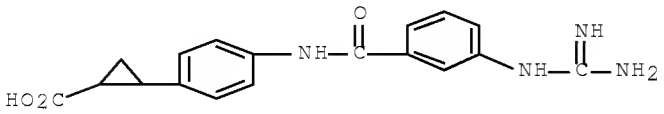
OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 15 OF 17 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2004:189159 CAPLUS Full-text
DOCUMENT NUMBER: 140:417238
TITLE: Synthesis of cinnamic acids and related isosteres as potent and selective αvβ3 receptor antagonists
AUTHOR(S): Penning, Thomas D.; Russell, Mark A.; Chen, Barbara B.; Chen, Helen Y.; Desai, Bipin N.; Docter, Stephen H.; Edwards, David J.; Gesicki, Glen J.; Liang, Chi-Dean; Malecha, James W.; Yu, Stella S.; Engleman, V. Wayne; Freeman, Sandra K.; Hanneke, Melanie L.; Shannon, Kristen E.; Westlin, Marisa M.; Nickols, G. Allen
CORPORATE SOURCE: Department of Medicinal Chemistry, Pfizer Global Research & Development, Skokie, IL, 60077, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2004), 14(6), 1471-1476
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB We describe a series of conformationally-restricted cinnamic acid peptidomimetics as well as several cinnamic acid isosteres, including 3-phenylpropionic acids, 2-amino-3-phenylpropionic acids, phenoxyacetic acids and 2-phenylcyclopropylcarboxylic acids. Several analogs demonstrated low to sub-nanomolar potencies against αvβ3 and greater than 200-fold selectivity against the other β3 integrin αIIbβ3. In whole 293 cells, many of these analogs also showed modest selectivity against other αv integrins such as αvβ1 and αvβ5. These compds. were synthesized from readily available starting materials using either Heck or Mitsunobu coupling conditions.
IT 198149-23-4 198149-33-6
RL: PAC (Pharmacological activity); BIOL (Biological study)

(synthesis of cinnamic acids and related isosteres as potent and selective αvβ3 receptor antagonists)

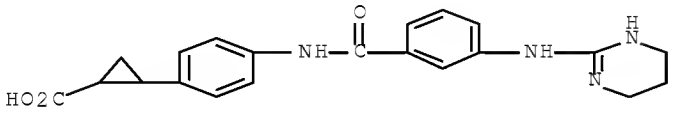
RN 198149-23-4 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[4-[[3-[(aminoiminomethyl)amino]benzoyl]amino]phenyl]- (CA INDEX NAME)



RN 198149-33-6 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[4-[[3-[(1,4,5,6-tetrahydro-2-pyrimidinyl)amino]benzoyl]amino]phenyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)

REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 16 OF 17 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1998:430105 CAPLUS Full-text

DOCUMENT NUMBER: 129:95328

ORIGINAL REFERENCE NO.: 129:19663a,19666a

TITLE: Preparation of phenyl-substituted cyclopropanealkanoic acids as αvβ3 integrin antagonists or inhibitors

INVENTOR(S): Chen, Barbara B.; Chen, Helen Y.; Clare, Michael; Rao, Shashidhar N.; Russell, Mark A.

PATENT ASSIGNEE(S): G.D. Searle and Co., USA

SOURCE: U.S., 29 pp.
CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

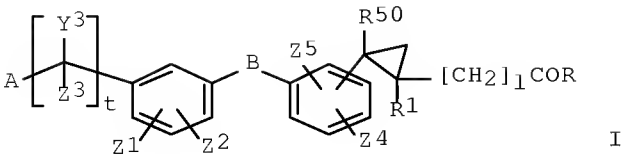
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5773644	A	19980630	US 1997-825040	19970327

PRIORITY APPLN. INFO.: US 1997-825040 19970327

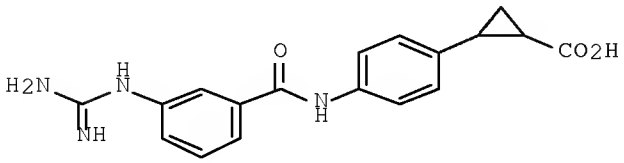
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 129:95328

GI



I



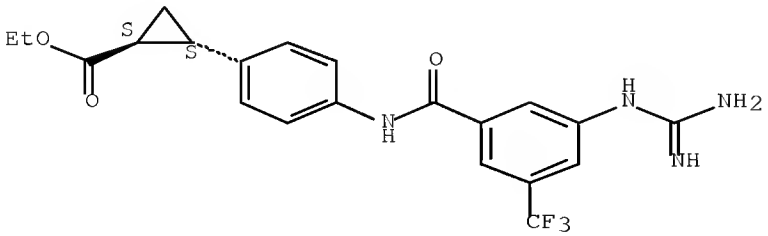
II

AB Title compds. I [wherein Y1 = NR2, O, S; R2 = H, alkyl, aryl, etc.; R7, R8 = H, alkyl, alkenyl, etc.; R5 = H, alkyl, alkenyl, etc., NR5C(:NR7)Y2 (Y2 = alkyl, cycloalkyl, bicycloalkyl); Z1, Z2, Z4, Z5 = H, alkyl, OH, etc.; B = CH2CONH, C(O)O, SO2NH, etc.; l = 0-3; t = 0-2; R50 = H, alkyl, aryl; R = XR3 (wherein X = O, S, NR4; R3, R4 = H, alkyl, alkenyl); Y3, Z3 = H, alkyl, aryl, etc.; R1 = NHC(O)R12, NHC(O)OR12; NHSO2R12, etc. (wherein R12 = H, alkyl, cycloalkyl, etc.)] and their pharmaceutically acceptable salts are disclosed. The compds. are selective inhibitors or antagonists of αvβ3 integrin, and are thus useful for treating tumor metastasis, solid tumor growth, angiogenesis, osteoporosis, humoral hypercalcemia of malignancy, smooth muscle cell migration, and restenosis. Thus, 3-guanidinobenzoic acid.HCl was coupled with Et 2-(4-aminophenyl)cyclopropanecarboxylate using 1-methylpiperidine and iso-Bu chloroformate, and the ester product was partially hydrolyzed using LiOH in MeOH, to give after workup title compound II.CF3COOH. In solid-phase receptor assays, the latter showed an IC50 value of 30.5 nM against αvβ3 integrin, but a less potent IC50 of 533 nM against IIb/IIIa receptors (indicator of undesired hematol. side effects).

IT 1099438-87-5 1099438-88-6 1099438-89-7
1099438-90-0
RL: PRPH (Prophetic)
(Preparation of phenyl-substituted cyclopropanealkanoic acids as αvβ3 integrin antagonists or inhibitors)

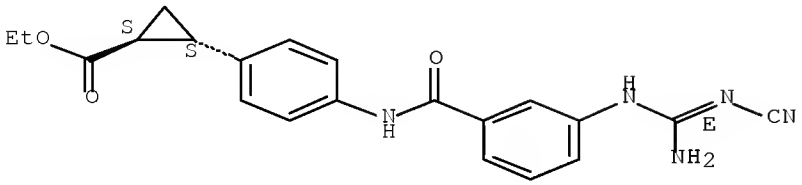
RN 1099438-87-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.



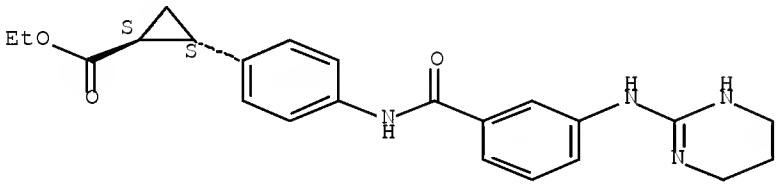
RN 1099438-88-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.
Double bond geometry as shown.



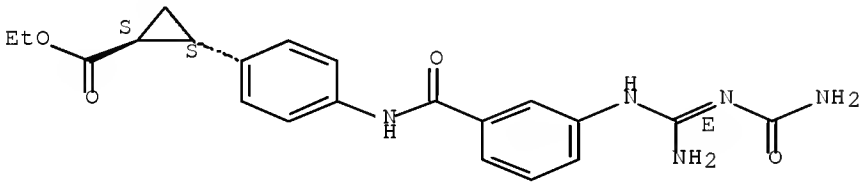
RN 1099438-89-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.



RN 1099438-90-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

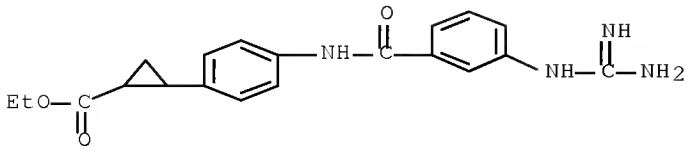
Relative stereochemistry.
Double bond geometry as shown.



IT 198149-22-3P
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of phenyl-substituted cyclopropanealkanoic acids as αvβ3 integrin antagonists or inhibitors)
RN 198149-22-3 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[3-[(aminoiminomethyl)amino]benzoyl]amino]phenyl]-, ethyl ester, 2,2,2-trifluoroacetate (2:3) (CA INDEX NAME)

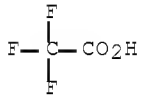
CM 1

CRN 198149-21-2
CMF C20 H22 N4 O3

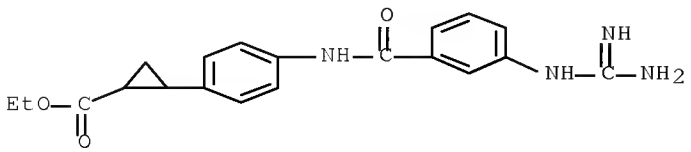


CM 2

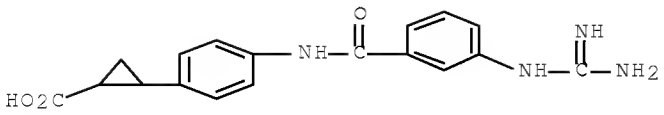
CRN 76-05-1
CMF C2 H F3 O2



IT 198149-21-2P 198149-23-4P 198149-24-5P
198149-27-8P 198149-28-9P 198149-29-0P
198149-30-3P 198149-31-4P 198149-32-5P
198149-33-6P 198149-34-7P 198149-35-8P
198149-36-9P 198149-37-0P 198149-38-1P
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phenyl-substituted cyclopropanealkanoic acids as αvβ3 integrin antagonists or inhibitors)
RN 198149-21-2 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[3-[(aminoiminomethyl)amino]benzoyl]amino]phenyl]-, ethyl ester (CA INDEX NAME)



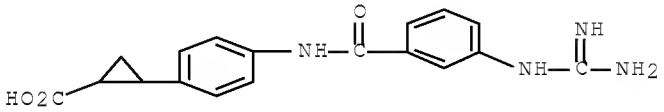
RN 198149-23-4 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[3-[(aminoiminomethyl)amino]benzoyl]amino]phenyl]- (CA INDEX NAME)



RN 198149-24-5 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[3-[(aminoiminomethyl)amino]benzoyl]amino]phenyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

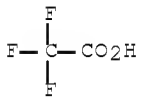
CM 1

CRN 198149-23-4
CMF C18 H18 N4 O3

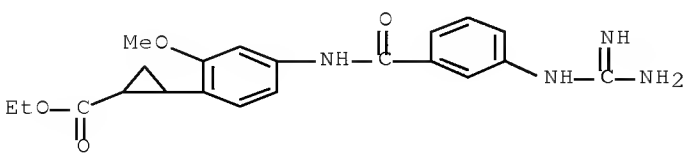


CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 198149-27-8 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[3-[(aminoiminomethyl)amino]benzoyl]amino]-2-methoxyphenyl]-, ethyl ester (CA INDEX NAME)

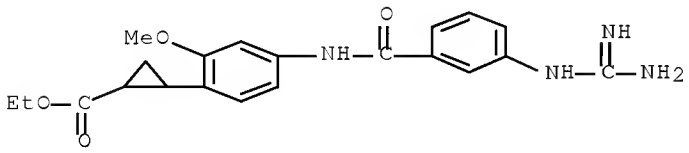


RN 198149-28-9 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[4-[[3-[(aminoiminomethyl)amino]benzoyl]amino]-2-methoxyphenyl]-, ethyl ester, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

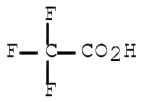
CM 1

CRN 198149-27-8
CMF C21 H24 N4 O4

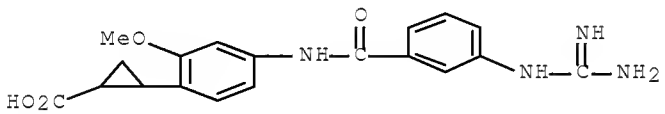


CM 2

CRN 76-05-1
CMF C2 H F3 O2



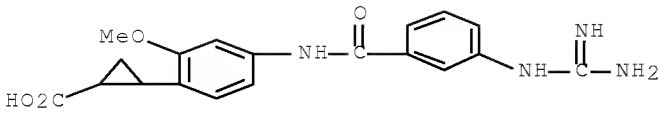
RN 198149-29-0 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[3-[(aminoiminomethyl)amino]benzoyl]amino]-2-methoxyphenyl]- (CA INDEX NAME)



RN 198149-30-3 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[3-[(aminoiminomethyl)amino]benzoyl]amino]-2-methoxyphenyl]-, 2,2,2-trifluoroacetate (2:3) (CA INDEX NAME)

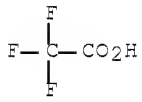
CM 1

CRN 198149-29-0
CMF C19 H20 N4 O4

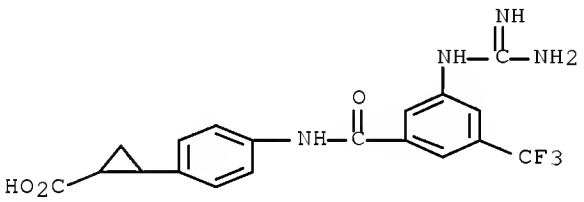


CM 2

CRN 76-05-1
CMF C2 H F3 O2



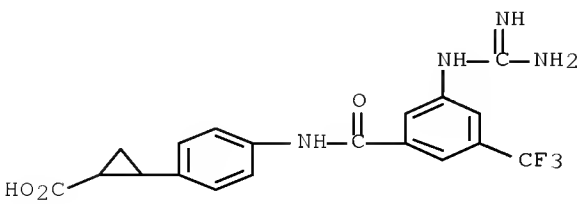
RN 198149-31-4 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[3-[(aminoiminomethyl)amino]-5-(trifluoromethyl)benzoyl]amino]phenyl]- (CA INDEX NAME)



RN 198149-32-5 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[3-[(aminoiminomethyl)amino]-5-(trifluoromethyl)benzoyl]amino]phenyl]-, 2,2,2-trifluoroacetate (10:13) (CA INDEX NAME)

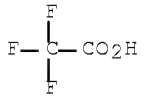
CM 1

CRN 198149-31-4
CMF C19 H17 F3 N4 O3

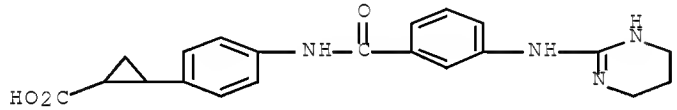


CM 2

CRN 76-05-1
CMF C2 H F3 O2



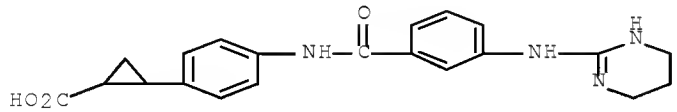
RN 198149-33-6 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[3-[(1,4,5,6-tetrahydro-2-pyrimidinyl)amino]benzoyl]amino]phenyl]- (CA INDEX NAME)



RN 198149-34-7 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[3-[(1,4,5,6-tetrahydro-2-pyrimidinyl)amino]benzoyl]amino]phenyl]-, 2,2,2-trifluoroacetate (10:11) (CA INDEX NAME)

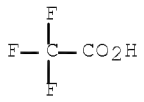
CM 1

CRN 198149-33-6
CMF C21 H22 N4 O3

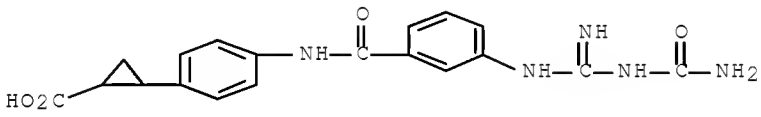


CM 2

CRN 76-05-1
CMF C2 H F3 O2



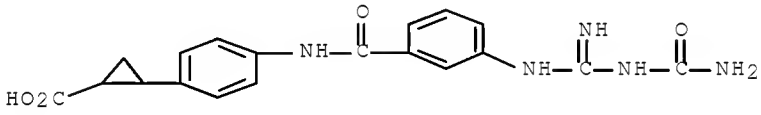
RN 198149-35-8 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[3-[[[(aminocarbonyl)amino]iminomethyl]amino]benzoyl]amino]phenyl]- (CA INDEX NAME)



RN 198149-36-9 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[3-[[[(aminocarbonyl)amino]iminomethyl]amino]benzoyl]amino]phenyl]-, 2,2,2-trifluoroacetate (5:6) (CA INDEX NAME)

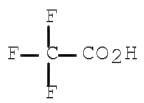
CM 1

CRN 198149-35-8
CMF C19 H19 N5 O4

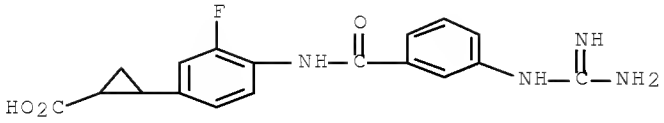


CM 2

CRN 76-05-1
CMF C2 H F3 O2



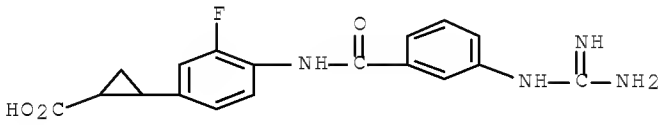
RN 198149-37-0 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[3-[(aminoiminomethyl)amino]benzoyl]amino]-3-fluorophenyl]- (CA INDEX NAME)



RN 198149-38-1 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[3-[(aminoiminomethyl)amino]benzoyl]amino]-3-fluorophenyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

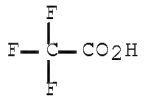
CM 1

CRN 198149-37-0
CMF C18 H17 F N4 O3

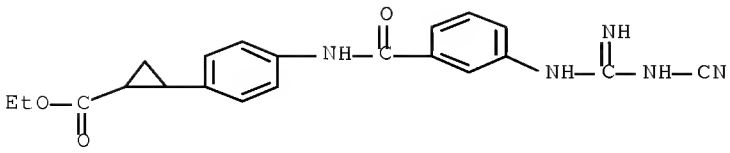


CM 2

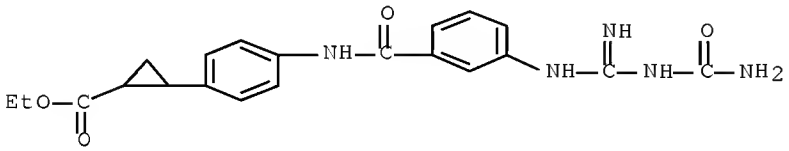
CRN 76-05-1
CMF C2 H F3 O2



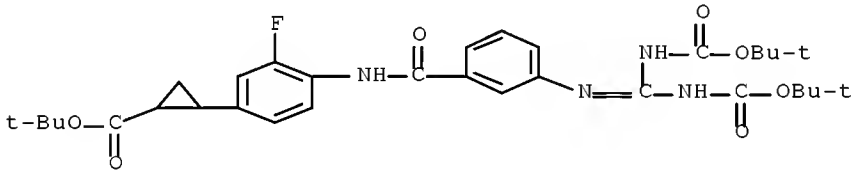
IT 198149-41-6P 198149-42-7P 198149-47-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of phenyl-substituted cyclopropanealkanoic acids as αvβ3 integrin antagonists or inhibitors)
RN 198149-41-6 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[3-[[(cyanoamino)iminomethyl]amino]benzoyl]amino]phenyl]-, ethyl ester (CA INDEX NAME)



RN 198149-42-7 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[3-[[[(aminocarbonyl)amino]iminomethyl]amino]benzoyl]amino]phenyl]-, ethyl ester (CA INDEX NAME)



RN 198149-47-2 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[3-[[bis[[(1,1-dimethylethoxy)carbonyl]amino]methylene]amino]benzoyl]amino]-3-fluorophenyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 17 OF 17 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1997:679048 CAPLUS Full-text
DOCUMENT NUMBER: 127:346201
ORIGINAL REFERENCE NO.: 127:67927a,67930a
TITLE: Preparation of phenyl-substituted cyclopropanealkanoic acids as αvβ3 integrin antagonists or inhibitors
INVENTOR(S): Chen, Barbara B.; Chen, Helen Y.; Clare, Michael; Rao, Shashidhar N.; Russell, Mark A.
PATENT ASSIGNEE(S): G.D. Searle and Co., USA; Chen, Barbara B.; Chen, Helen Y.; Clare, Michael; Rao, Shashidhar N.; Russell, Mark A.
SOURCE: PCT Int. Appl., 102 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 9736858	A1	19971009	WO 1997-US3987		19970320
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU					
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG					
CA 2250695	A1	19971009	CA 1997-2250695		19970320
AU 9723238	A	19971022	AU 1997-23238		19970320
EP 889875	A1	19990113	EP 1997-915937		19970320
EP 889875	B1	20010620			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI					
JP 2000507575	T	20000620	JP 1997-535279		19970320
AT 202337	T	20010715	AT 1997-915937		19970320
ES 2158537	T3	20010901	ES 1997-915937		19970320
GR 3036253	T3	20011031	GR 2001-401102		20010724
PRIORITY APPLN. INFO.:			US 1996-14411P	P	19960329
			WO 1997-US3987	W	19970320

OTHER SOURCE(S): MARPAT 127:346201
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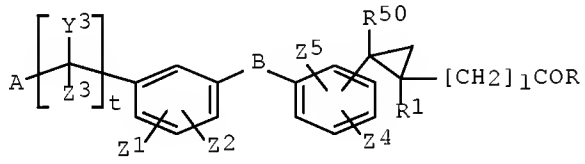

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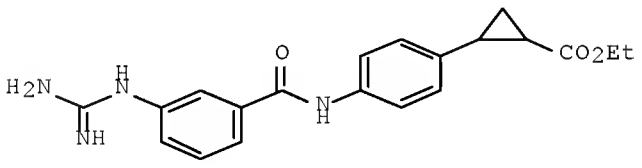


II

AB The title compds. [I; A = NR5C(:Y)NR7R8 (wherein Y1 = NR2, O, S; R2 = H, alkyl, aryl, etc.; R7, R8 = H, alkyl, alkenyl, etc.; R5 = H, alkyl, alkenyl, etc.), NR5C(:NR7)Y2 (Y2 = alkyl, cycloalkyl, bicycloalkyl); Z1, Z2, Z4, Z5 = H, alkyl, hydroxy, etc.; B = CH2CONH, C(O)O, SO2NH, etc.; l = 0-3; t = 0-2; R50 = H, alkyl, aryl; R = XR3 (wherein X = O, S, NR4; R3, R4 = H, alkyl, alkenyl); Y3, Z3 = H, alkyl, aryl, etc.; R1 = NHC(O)R12, NHC(O)OR12; NHSO2R12, etc. (wherein R12 = H, alkyl, cycloalkyl, etc.)] and their pharmaceutically acceptable salts, selective inhibitors or antagonists of αvβ3 integrin, and therefore useful for treating tumor metastasis, solid tumor growth, angiogenesis, osteoporosis, humoral hypercalcemia of malignancy, smooth muscle

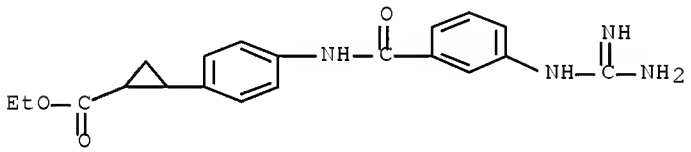
cell migration, and restenosis, were prepared Thus, treatment of 3-guanidinobenzoic acid.HCl in DMF with 1-methylpiperidine followed by the addition of iso-Bu chloroformate, and after 5 min Et 2-(4-aminophenyl)cyclopropanecarboxylate in DMF afforded the title compound II.CF3COOH which showed IC50 of 525 nM against αvβ3 integrin.

IT 198149-22-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of phenyl-substituted cyclopropanealkanoic acids as αvβ3 integrin antagonists or inhibitors)

RN 198149-22-3 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[3-[(aminoiminomethyl)amino]benzoyl]amino]phenyl]-, ethyl ester, 2,2,2-trifluoroacetate (2:3) (CA INDEX NAME)

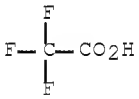
CM 1

CRN 198149-21-2
CMF C20 H22 N4 O3



CM 2

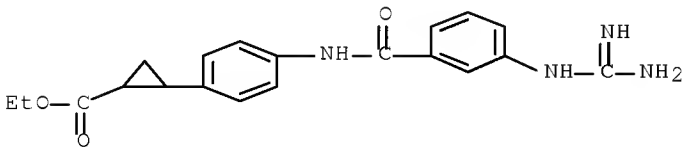
CRN 76-05-1
CMF C2 H F3 O2



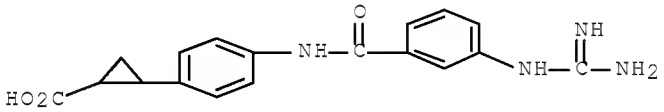
IT 198149-21-2P 198149-23-4P 198149-24-5P
198149-27-8P 198149-28-9P 198149-29-0P
198149-30-3P 198149-31-4P 198149-32-5P
198149-33-6P 198149-34-7P 198149-35-8P
198149-36-9P 198149-37-0P 198149-38-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phenyl-substituted cyclopropanealkanoic acids as αvβ3 integrin antagonists or inhibitors)

RN 198149-21-2 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[3-

[(aminoiminomethyl)amino]benzoyl]amino]phenyl]-, ethyl ester (CA INDEX NAME)



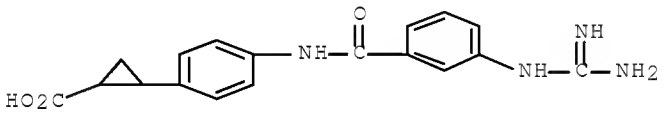
RN 198149-23-4 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[3-[(aminoiminomethyl)amino]benzoyl]amino]phenyl]- (CA INDEX NAME)



RN 198149-24-5 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[3-[(aminoiminomethyl)amino]benzoyl]amino]phenyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

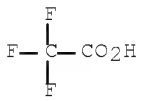
CM 1

CRN 198149-23-4
CMF C18 H18 N4 O3

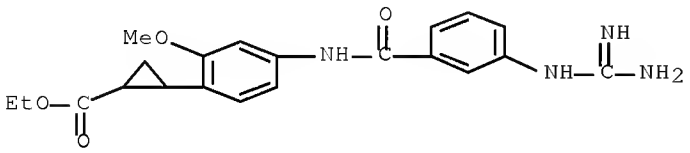


CM 2

CRN 76-05-1
CMF C2 H F3 O2



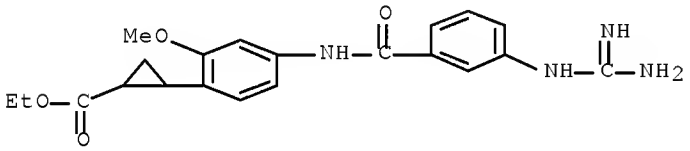
RN 198149-27-8 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[3-[(aminoiminomethyl)amino]benzoyl]amino]-2-methoxyphenyl]-, ethyl ester (CA INDEX NAME)



RN 198149-28-9 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[3-[(aminoiminomethyl)amino]benzoyl]amino]-2-methoxyphenyl]-, ethyl ester, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

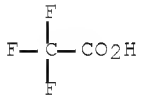
CM 1

CRN 198149-27-8
CMF C21 H24 N4 O4

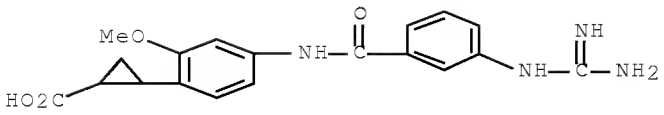


CM 2

CRN 76-05-1
CMF C2 H F3 O2



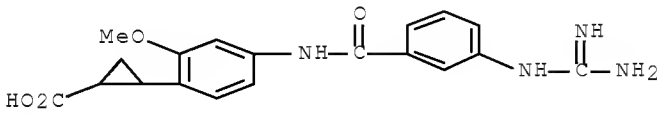
RN 198149-29-0 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[3-[(aminoiminomethyl)amino]benzoyl]amino]-2-methoxyphenyl]- (CA INDEX NAME)



RN 198149-30-3 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[3-[(aminoiminomethyl)amino]benzoyl]amino]-2-methoxyphenyl]-, 2,2,2-trifluoroacetate (2:3) (CA INDEX NAME)

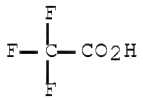
CM 1

CRN 198149-29-0
CMF C19 H20 N4 O4

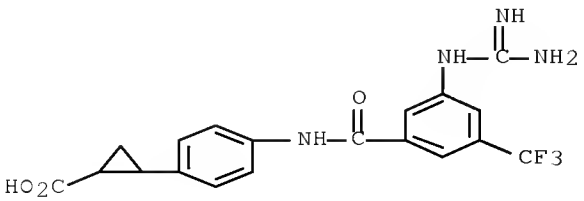


CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 198149-31-4 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[3-[(aminoiminomethyl)amino]-5-(trifluoromethyl)benzoyl]amino]phenyl]- (CA INDEX NAME)

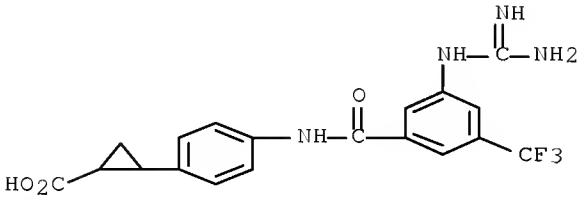


RN 198149-32-5 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[4-[[3-[(aminoiminomethyl)amino]-5-(trifluoromethyl)benzoyl]amino]phenyl]-, 2,2,2-trifluoroacetate (10:13) (CA INDEX NAME)

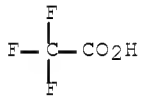
CM 1

CRN 198149-31-4
CMF C19 H17 F3 N4 O3

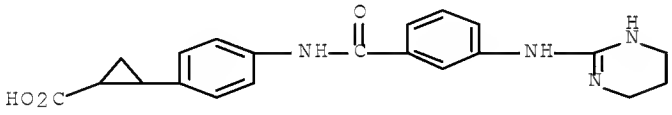


CM 2

CRN 76-05-1
CMF C2 H F3 O2



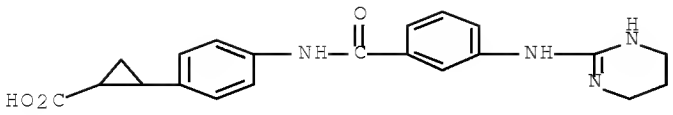
RN 198149-33-6 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[3-[(1,4,5,6-tetrahydro-2-pyrimidinyl)amino]benzoyl]amino]phenyl]- (CA INDEX NAME)



RN 198149-34-7 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[3-[(1,4,5,6-tetrahydro-2-pyrimidinyl)amino]benzoyl]amino]phenyl]-, 2,2,2-trifluoroacetate (10:11) (CA INDEX NAME)

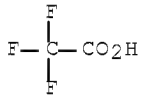
CM 1

CRN 198149-33-6
CMF C21 H22 N4 O3

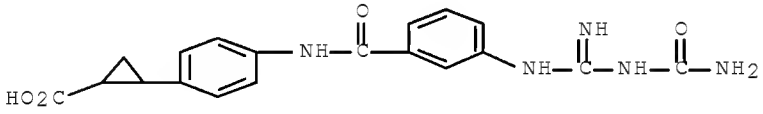


CM 2

CRN 76-05-1
CMF C2 H F3 O2



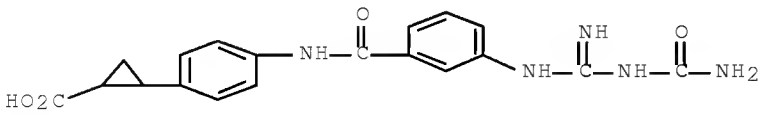
RN 198149-35-8 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[3-[[[(aminocarbonyl)amino]iminomethyl]amino]benzoyl]amino]phenyl]- (CA INDEX NAME)



RN 198149-36-9 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[3-[[[(aminocarbonyl)amino]iminomethyl]amino]benzoyl]amino]phenyl]-, 2,2,2-trifluoroacetate (5:6) (CA INDEX NAME)

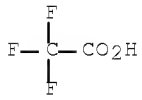
CM 1

CRN 198149-35-8
CMF C19 H19 N5 O4

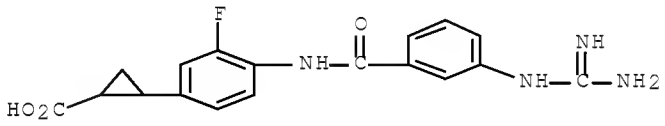


CM 2

CRN 76-05-1
CMF C2 H F3 O2



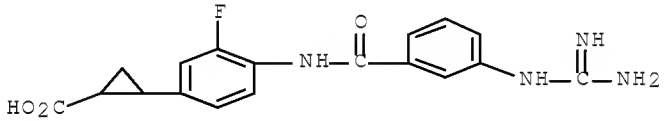
RN 198149-37-0 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[3-[(aminoiminomethyl)amino]benzoyl]amino]-3-fluorophenyl]- (CA INDEX NAME)



RN 198149-38-1 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[3-[(aminoiminomethyl)amino]benzoyl]amino]-3-fluorophenyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

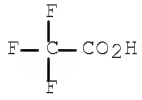
CM 1

CRN 198149-37-0
CMF C18 H17 F N4 O3



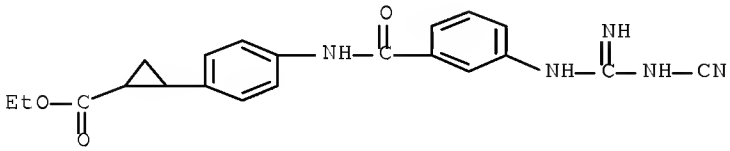
CM 2

CRN 76-05-1
CMF C2 H F3 O2

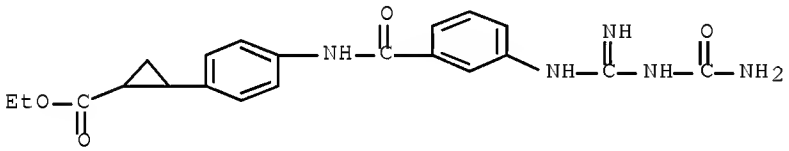


IT 198149-41-6P 198149-42-7P 198149-47-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of phenyl-substituted cyclopropanealkanoic acids as αvβ3 integrin antagonists or inhibitors)

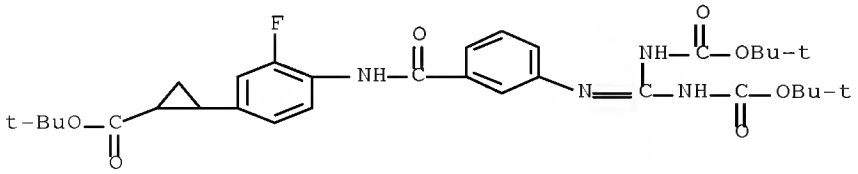
RN 198149-41-6 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[3-[[(cyanoamino)iminomethyl]amino]benzoyl]amino]phenyl]-, ethyl ester (CA INDEX NAME)



RN 198149-42-7 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[3-[[[(aminocarbonyl)amino]iminomethyl]amino]benzoyl]amino]phenyl]-, ethyl ester (CA INDEX NAME)



RN 198149-47-2 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[4-[[3-[[bis[[(1,1-dimethylethoxy)carbonyl]amino]methylene]amino]benzoyl]amino]-3-fluorophenyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



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